=> fil reg

FILE 'REGISTRY' ENTERED AT 11:41:23 ON 12 JAN 2001

STRUCTURE FILE UPDATES: 11 JAN 2001 HIGHEST RN 313639-92-8
DICTIONARY FILE UPDATES: 11 JAN 2001 HIGHEST RN 313639-92-8

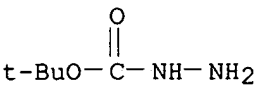
TSCA INFORMATION NOW CURRENT THROUGH July 8, 2000

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Structure search limits have been increased. See HELP SLIMIT for details.

=> d ide can l53

L53 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2001 ACS
RN **870-46-2** REGISTRY
CN Hydrazinecarboxylic acid, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Carbazic acid, tert-butyl ester (6CI, 8CI)
OTHER NAMES:
CN (tert-Butoxycarbonyl)hydrazide
CN (tert-Butoxycarbonyl)hydrazine
CN (tert-Butyloxycarbonyl)hydrazide
CN 1,1-Dimethylethyl carbazate
CN 1-(tert-Butoxycarbonyl)hydrazine
CN Hydrazinecarboxylic acid tert-butyl ester
CN N-(tert-Butoxycarbonyl)hydrazine
CN tert-Butyl carbazate
CN tert-Butyl hydrazinecarboxylate
FS 3D CONCORD
MF **C5 H12 N2 O2**
CI COM
LC STN Files: BEILSTEIN*, BIOBUSINESS, BIOSIS, CA, CAOLD, CAPLUS, CASREACT, CHEMCATS, CHEMINFORMRX, CHEMLIST, CSCHEM, IFICDB, IFIPAT, IFIUDB, MSDS-OHS, SPECINFO, SYNTHLINE, TOXLINE, TOXLIT, USPATFULL
(*File contains numerically searchable property data)
Other Sources: EINECS**, NDSL**, TSCA**
(**Enter CHEMLIST File for up-to-date regulatory information)



517 REFERENCES IN FILE CA (1967 TO DATE)
8 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
517 REFERENCES IN FILE CAPLUS (1967 TO DATE)
12 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1: 134:46793

REFERENCE 2: 134:29208

REFERENCE 3: 134:18747

REFERENCE 4: 133:281699

REFERENCE 5: 133:281264

REFERENCE 6: 133:266845

REFERENCE 7: 133:238304

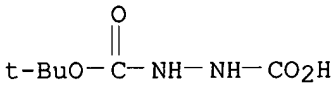
REFERENCE 8: 133:237692

REFERENCE 9: 133:208156

REFERENCE 10: 133:150078

=> d ide can 154

L54 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2001 ACS
RN **256640-13-8** REGISTRY
CN 1,2-Hydrazinedicarboxylic acid, mono(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF **C6 H12 N2 O4**
SR CA
LC STN Files: CA, CAPLUS, CASREACT

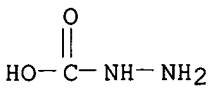


1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 132:137730

=> d ide can 155

L55 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2001 ACS
RN **471-31-8** REGISTRY
CN Hydrazinecarboxylic acid (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Carbazic acid (6CI, 7CI, 8CI)
OTHER NAMES:
CN Azaglycine
CN Carbazinic acid
CN Carbonic acid, monohydrazide
CN Formic acid, hydrazino-
FS 3D CONCORD
MF **C H4 N2 O2**
CI COM
LC STN Files: BEILSTEIN*, BIOBUSINESS, BIOSIS, CA, CANCERLIT, CAOLD, CAPLUS, CASREACT, GMELIN*, MEDLINE, TOXLINE, TOXLIT, TULSA, USPATFULL
(*File contains numerically searchable property data)



37 REFERENCES IN FILE CA (1967 TO DATE)
21 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
37 REFERENCES IN FILE CAPLUS (1967 TO DATE)

7 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1: 132:137730

REFERENCE 2: 130:186398

REFERENCE 3: 129:335150

REFERENCE 4: 129:225714

REFERENCE 5: 128:243634

REFERENCE 6: 127:18593

REFERENCE 7: 127:5776

REFERENCE 8: 126:107512

REFERENCE 9: 125:142130

REFERENCE 10: 122:322151

=> d ide can 156

L56 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2001 ACS
RN **302-01-2** REGISTRY
CN Hydrazine (7CI, 8CI, 9CI) (CA INDEX NAME)
OTHER NAMES:
CN Levoxine
CN Nitrogen hydride (N2H4)
CN Oxytreat 35
FS 3D CONCORD
DR 119775-10-9, 75013-58-0, 31886-26-7
MF **H4 N2**
CI COM
LC STN Files: AGRICOLA, AIDSLINE, ANABSTR, APILIT, APILIT2, APIPAT, APIPAT2, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CABA, CANCERLIT, CAOLD, CAPLUS, CASREACT, CBNB, CEN, CHEMCATS, CHEMINFORMRX, CHEMLIST, CHEMSAFE, CIN, CSCHEM, CSNB, DDFU, DETHERM*, DIPPR*, DRUGU, EMBASE, GMELIN*, HODOC*, HSDB*, IFICDB, IFIPAT, IFIUDB, IPA, MEDLINE, MRCK*, MSDS-OHS, NIOSHTIC, PDLCOM*, PIRA, PROMT, RTECS*, SPECINFO, SYNTHLINE, TOXLINE, TOXLIT, TRCTHERMO*, TULSA, ULIDAT, USPATFULL, VTB
(*File contains numerically searchable property data)
Other Sources: DSL**, EINECS**, TSCA**
(**Enter CHEMLIST File for up-to-date regulatory information)

H_2N-NH_2

15045 REFERENCES IN FILE CA (1967 TO DATE)
1195 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
15062 REFERENCES IN FILE CAPLUS (1967 TO DATE)
3 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1: 134:50821

REFERENCE 2: 134:50571

REFERENCE 3: 134:50018

REFERENCE 4: 134:42108

REFERENCE 5: 134:41923

REFERENCE 6: 134:41807

REFERENCE 7: 134:36327

REFERENCE 8: 134:35898

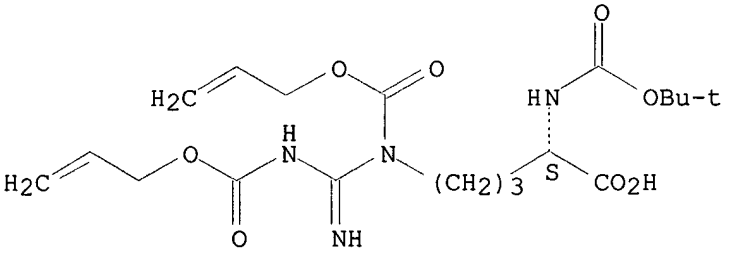
REFERENCE 9: 134:34308

REFERENCE 10: 134:33040

=> d ide can 157

L57 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2001 ACS
RN **146982-20-9** REGISTRY
CN 11-Oxa-2,7,9-triazatetradec-13-enoic acid, 3-carboxy-8-imino-10-oxo-7-[(2-propenyloxy)carbonyl]-, 1-(1,1-dimethylethyl) ester, (3S)- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN L-Ornithine, N2-[(1,1-dimethylethoxy)carbonyl]-N5-[imino[[(2-propenyloxy)carbonyl]amino]methyl]-N5-[(2-propenyloxy)carbonyl]-
FS STEREOSEARCH
MF **C19 H30 N4 O8**
CI COM
SR CA
LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry.



4 REFERENCES IN FILE CA (1967 TO DATE)
4 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 133:208174

REFERENCE 2: 132:137730

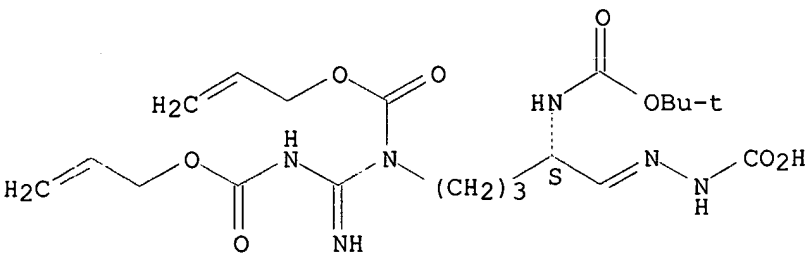
REFERENCE 3: 119:73123

REFERENCE 4: 118:213502

=> d ide can 158

L58 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2001 ACS
RN **256640-14-9** REGISTRY
CN 13-Oxa-2,3,9,11-tetraazahexadeca-3,15-dienoic acid, 5-[[(1,1-dimethylethoxy)carbonyl]amino]-10-imino-12-oxo-9-[(2-propenyloxy)carbonyl]-, (5S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF **C20 H32 N6 O8**
SR CA
LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry.
Double bond geometry unknown.



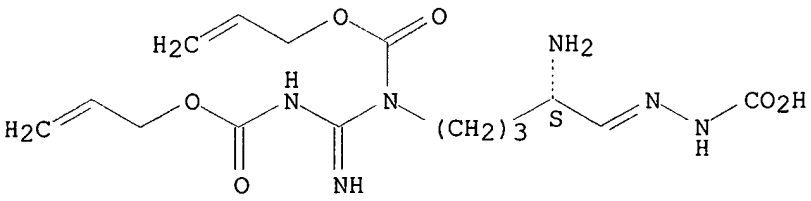
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 132:137730

=> d ide can 159

L59 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2001 ACS
RN **256640-15-0** REGISTRY
CN 13-Oxa-2,3,9,11-tetraazahexadeca-3,15-dienoic acid, 5-amino-10-imino-12-oxo-9-[(2-propenyloxy)carbonyl]-, (5S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF **C15 H24 N6 O6**
SR CA
LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry.
Double bond geometry unknown.



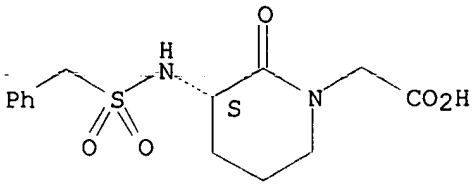
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 132:137730

=> d ide can 160

L60 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2001 ACS
RN **174960-81-7** REGISTRY
CN 1-Piperidineacetic acid, 2-oxo-3-[[(phenylmethyl)sulfonyl]amino]-, (3S)- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 1-Piperidineacetic acid, 2-oxo-3-[[(phenylmethyl)sulfonyl]amino]-, (S)-
FS STEREOSEARCH
MF **C14 H18 N2 O5 S**
SR CA
LC STN Files: CA, CAPLUS, CASREACT, USPATFULL

Absolute stereochemistry.



7 REFERENCES IN FILE CA (1967 TO DATE)
7 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 133:296012

REFERENCE 2: 132:137730

REFERENCE 3: 131:116524

REFERENCE 4: 130:4091

REFERENCE 5: 128:102391

REFERENCE 6: 124:290275

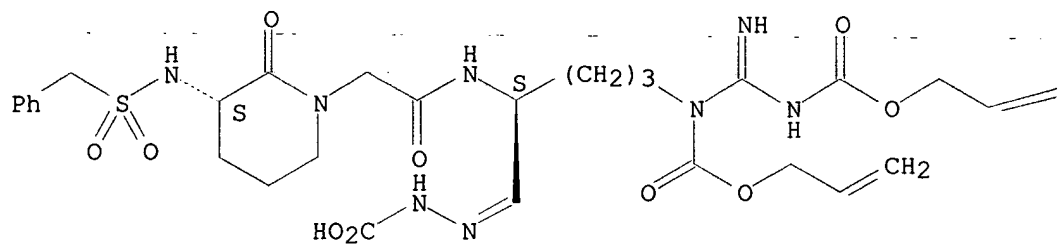
REFERENCE 7: 124:261754

=> d ide can l61

L61 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2001 ACS
RN **256640-16-1** REGISTRY
CN 13-Oxa-2,3,9,11-tetraazahexadeca-3,15-dienoic acid, 10-imino-12-oxo-5-[[[(3S)-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]-1-piperidinyl]acetyl]amino]-9-[(2-propenyloxy)carbonyl]-, (5S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF **C29 H40 N8 O10 S**
SR CA
LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



PAGE 1-B

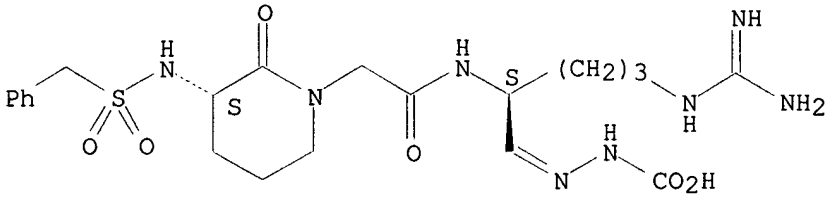
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 132:137730

=> d ide can l62

L62 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2001 ACS
RN **256640-17-2** REGISTRY
CN Hydrazinecarboxylic acid, [(2S)-5-[(aminoiminomethyl)amino]-2-[[[(3S)-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]-1-piperidinyl]acetyl]amino]pentylidene]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF **C21 H32 N8 O6 S**
SR CA
LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry.
Double bond geometry unknown.



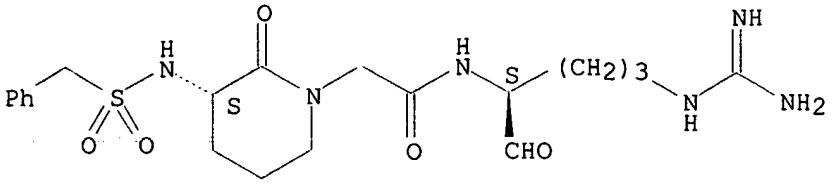
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 132:137730

=> d ide can l63

L63 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2001 ACS
RN **174960-52-2** REGISTRY
CN 1-Piperidineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]-, (3S)- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 1-Piperidineacetamide, N-[4-[(aminoiminomethyl)amino]-1-formylbutyl]-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]-, [S-(R*,R*)]-
OTHER NAMES:
CN CVS 1578
FS STEREOSEARCH
MF **C20 H30 N6 O5 S**
CI COM
SR CA
LC STN Files: BIOSIS, CA, CAPLUS, CASREACT, TOXLIT, USPATFULL

Absolute stereochemistry.



6 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
6 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 132:222836

REFERENCE 2: 132:137730

REFERENCE 3: 130:10293

REFERENCE 4: 129:241648

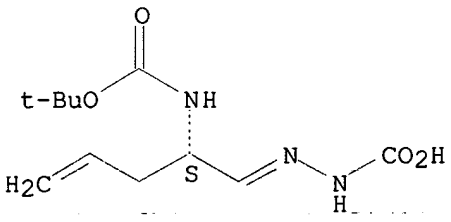
REFERENCE 5: 128:102391

REFERENCE 6: 126:126662

=> d ide can 164

L64 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2001 ACS
RN **256640-18-3** REGISTRY
CN Hydrazinecarboxylic acid, [(2S)-2-[[(1,1-dimethylethoxy)carbonyl]amino]-4-pentenylidene]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF **C11 H19 N3 O4**
SR CA
LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry.
Double bond geometry unknown.



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

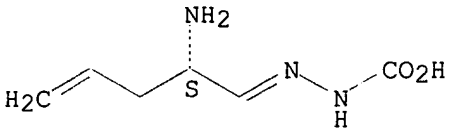
REFERENCE 1: 132:137730

=> d ide can 165

L65 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2001 ACS
RN **256640-19-4** REGISTRY
CN Hydrazinecarboxylic acid, [(2S)-2-amino-4-pentenylidene]- (9CI) (CA INDEX NAME)

FS STEREOSEARCH
MF **C6 H11 N3 O2**
SR CA
LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry.
Double bond geometry unknown.



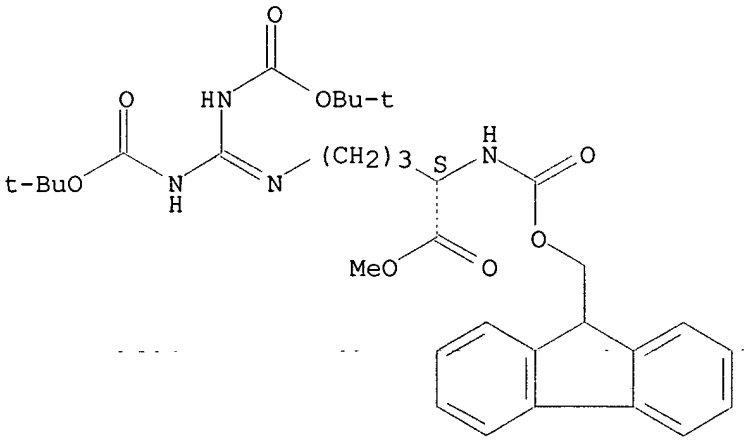
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 132:137730

=> d ide can l66

L66 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2001 ACS
RN **256640-20-7** REGISTRY
CN 11-Oxa-2,7,9-triazatridec-7-enoic acid, 8-[[(1,1-dimethylethoxy)carbonyl]amino]-3-(methoxycarbonyl)-12,12-dimethyl-10-oxo-, 9H-fluoren-9-ylmethyl ester, (3S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF **C32 H42 N4 O8**
SR CA
LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry.



2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

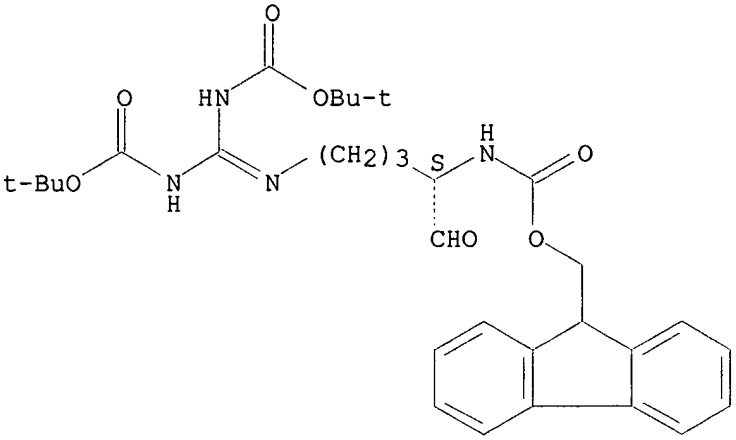
REFERENCE 1: 132:137731

REFERENCE 2: 132:137730

=> d ide can l67

L67 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2001 ACS
RN **256640-21-8** REGISTRY
CN 11-Oxa-2,7,9-triazatridec-7-enoic acid, 8-[[(1,1-dimethylethoxy)carbonyl]amino]-3-formyl-12,12-dimethyl-10-oxo-, 9H-fluoren-9-ylmethyl ester, (3S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF **C31 H40 N4 O7**
SR CA
LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry.



2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

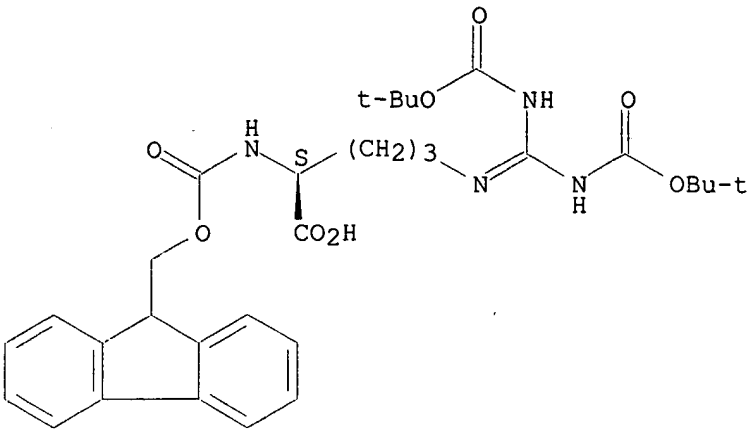
REFERENCE 1: 132:137731

REFERENCE 2: 132:137730

=> d ide can l68

L68 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2001 ACS
RN **143824-77-5** REGISTRY
CN 11-Oxa-2,7,9-triazatridec-7-enoic acid, 3-carboxy-8-[[(1,1-dimethylethoxy)carbonyl]amino]-12,12-dimethyl-10-oxo-, 1-(9H-fluoren-9-ylmethyl) ester, (3S)- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN L-Ornithine, N5-[bis[[(1,1-dimethylethoxy)carbonyl]amino]methylene]-N2-[(9H-fluoren-9-ylmethoxy)carbonyl]-
OTHER NAMES:
CN 11-Oxa-2,7,9-triazatridec-7-enoic acid, 3-carboxy-8-[[(1,1-dimethylethoxy)carbonyl]amino]-12,12-dimethyl-10-oxo-, 1-(9H-fluoren-9-ylmethyl) ester, (S)-
FS STEREOSEARCH
MF **C31 H40 N4 O8**
SR CA
LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT, CHEMCATS, CSCHEM
(*File contains numerically searchable property data)

Absolute stereochemistry.



6 REFERENCES IN FILE CA (1967 TO DATE)
6 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 132:137730

REFERENCE 2: 129:27586

REFERENCE 3: 125:87171

REFERENCE 4: 120:299221

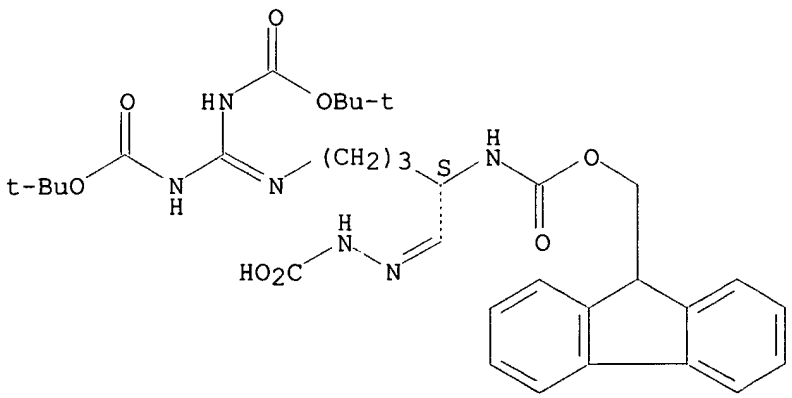
REFERENCE 5: 118:39358

REFERENCE 6: 117:171983

=> d ide can 169

L69 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2001 ACS
RN **256640-22-9** REGISTRY
CN 13-Oxa-2,3,9,11-tetraazapentadeca-3,10-dienoic acid, 10-[[(1,1-dimethylethoxy)carbonyl]amino]-5-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-14,14-dimethyl-12-oxo-, (5S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF **C32 H42 N6 O8**
SR CA
LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry.
Double bond geometry unknown.



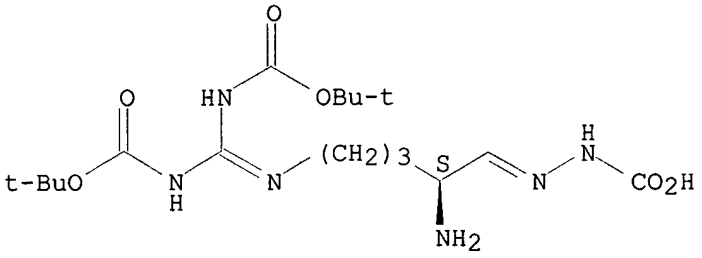
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 132:137730

=> d ide can l70

L70 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2001 ACS
RN **256640-23-0** REGISTRY
CN 13-Oxa-2,3,9,11-tetraazapentadeca-3,9-dienoic acid, 5-amino-10-[[(1,1-dimethylethoxy)carbonyl]amino]-14,14-dimethyl-12-oxo-, (5S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF **C17 H32 N6 O6**
SR CA
LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry.
Double bond geometry unknown.



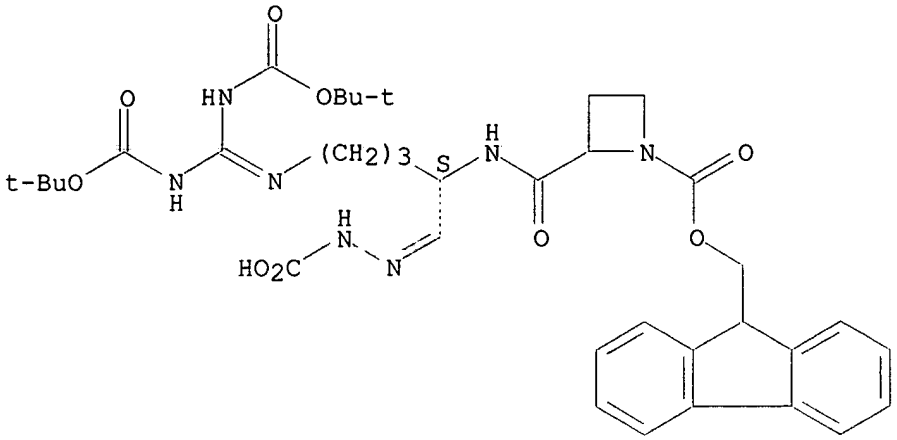
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 132:137730

=> d ide can l71

L71 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2001 ACS
RN **256640-24-1** REGISTRY
CN 13-Oxa-2,3,9,11-tetraazapentadeca-3,10-dienoic acid, 10-[[(1,1-dimethylethoxy)carbonyl]amino]-5-[[[1-[(9H-fluoren-9-ylmethoxy)carbonyl]-2-azetidinyl]carbonyl]amino]-14,14-dimethyl-12-oxo-, (5S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF **C36 H47 N7 O9**
SR CA
LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry.
Double bond geometry unknown.



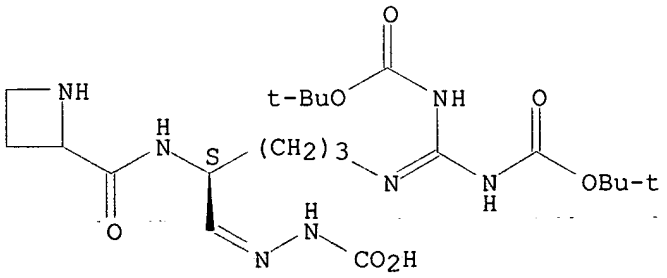
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 132:137730

=> d ide can l72

L72 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2001 ACS
RN **256640-25-2** REGISTRY
CN 13-Oxa-2,3,9,11-tetraazapentadeca-3,9-dienoic acid, 5-[(2-azetidinylcarbonyl)amino]-10-[[(1,1-dimethylethoxy)carbonyl]amino]-14,14-dimethyl-12-oxo-, (5S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF **C21 H37 N7 O7**
SR CA
LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry.
Double bond geometry unknown.



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

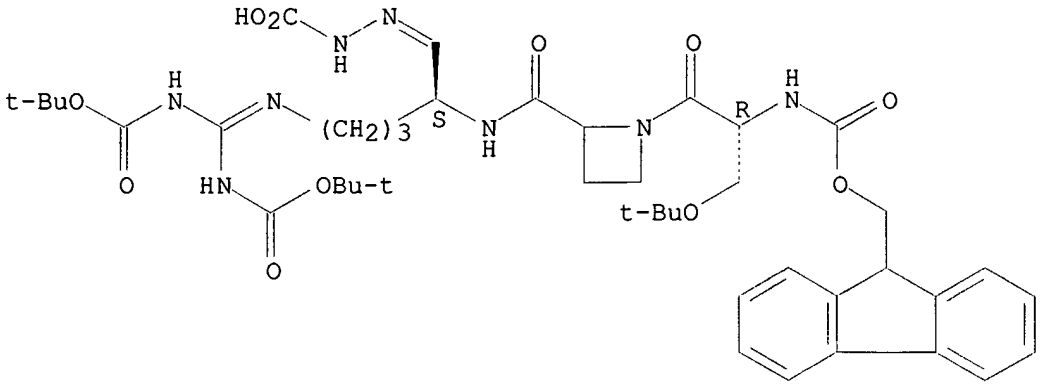
REFERENCE 1: 132:137730

=> d ide can l73

L73 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2001 ACS
RN **256640-26-3** REGISTRY

CN 13-Oxa-2,3,9,11-tetraazapentadeca-3,9-dienoic acid, 10-[[(1,1-dimethylethoxy)carbonyl]amino]-5-[[[1-[(2R)-3-(1,1-dimethylethoxy)-2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-1-oxopropyl]-2-azetidinyl]carbonyl]amino]-14,14-dimethyl-12-oxo-, (5S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF **C43 H60 N8 O11**
SR CA
LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry.
Double bond geometry unknown.



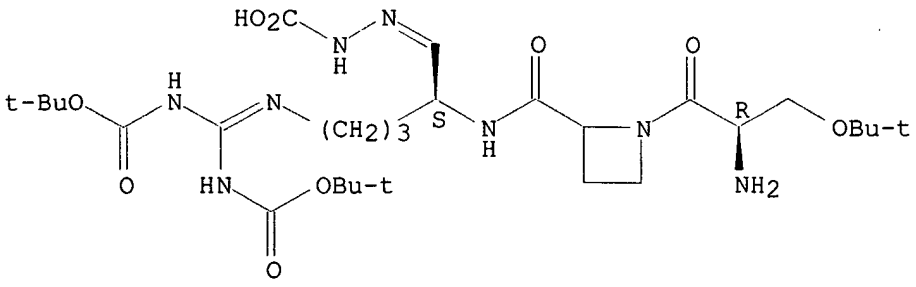
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 132:137730

=> d ide can l74

L74 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2001 ACS
RN **256640-27-4** REGISTRY
CN 13-Oxa-2,3,9,11-tetraazapentadeca-3,9-dienoic acid, 5-[[[1-[(2R)-2-amino-3-(1,1-dimethylethoxy)-1-oxopropyl]-2-azetidinyl]carbonyl]amino]-10-[[(1,1-dimethylethoxy)carbonyl]amino]-14,14-dimethyl-12-oxo-, (5S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF **C28 H50 N8 O9**
SR CA
LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry.
Double bond geometry unknown.



1 REFERENCES IN FILE CA (1967 TO DATE)

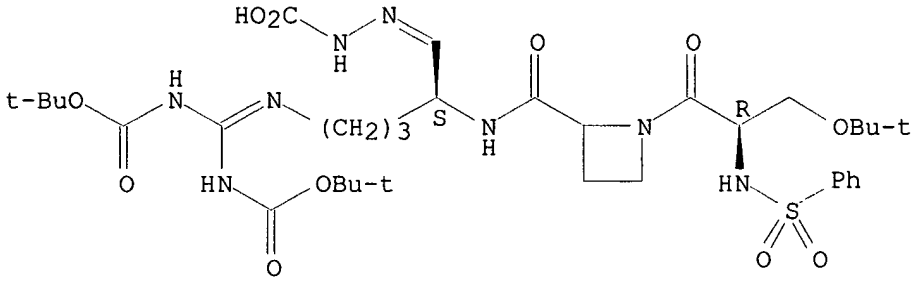
1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 132:137730

=> d ide can 175

L75 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2001 ACS
RN **256640-28-5** REGISTRY
CN 13-Oxa-2,3,9,11-tetraazapentadeca-3,9-dienoic acid, 10-[[(1,1-dimethylethoxy)carbonyl]amino]-5-[[[1-[(2R)-3-(1,1-dimethylethoxy)-1-oxo-2-[(phenylsulfonyl)amino]propyl]-2-azetidinyl]carbonyl]amino]-14,14-dimethyl-12-oxo-, (5S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF **C34 H54 N8 O11 S**
SR CA
LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry.
Double bond geometry unknown.



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 132:137730

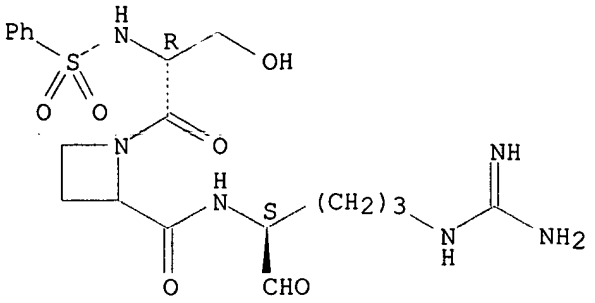
=> d ide can 176

L76 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2001 ACS
RN **256640-35-4** REGISTRY
CN 2-Azetidinecarboxamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-1-[(2R)-3-hydroxy-1-oxo-2-[(phenylsulfonyl)amino]propyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF **C19 H28 N6 O6 S . C2 H F3 O2**
SR CA
LC STN Files: CA, CAPLUS, CASREACT

CM 1

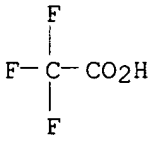
CRN 256640-34-3
CMF C19 H28 N6 O6 S

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



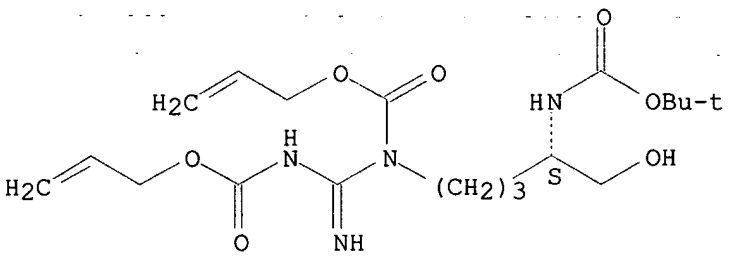
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 132:137730

=> d ide can 177

L77 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2001 ACS
RN **256640-29-6** REGISTRY
CN 11-Oxa-2,7,9-triazatetradec-13-enoic acid, 3-(hydroxymethyl)-8-imino-10-oxo-7-[(2-propenyloxy)carbonyl]-, 1,1-dimethylethyl ester, (3S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF **C19 H32 N4 O7**
SR CA
LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry.



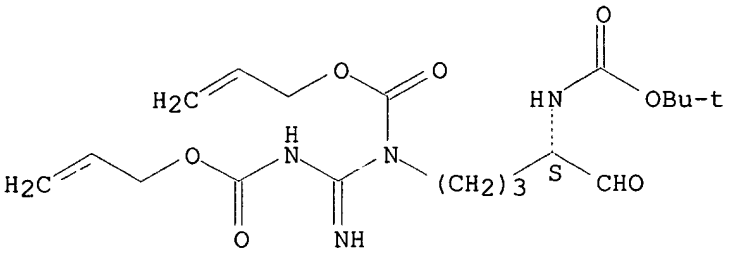
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 132:137730

=> d ide can l78

L78 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2001 ACS
RN **256640-30-9** REGISTRY
CN 11-Oxa-2,7,9-triazatetradec-13-enoic acid, 3-formyl-8-imino-10-oxo-7-[(2-propenyloxy)carbonyl]-, 1,1-dimethylethyl ester, (3S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF **C19 H30 N4 O7**
SR CA
LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry.



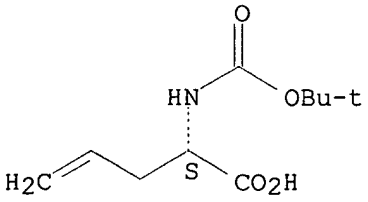
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 132:137730

=> d ide can l79

L79 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2001 ACS
RN **90600-20-7** REGISTRY
CN 4-Pentenoic acid, 2-[[(1,1-dimethylethoxy)carbonyl]amino]-, (2S)- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 4-Pentenoic acid, 2-[[(1,1-dimethylethoxy)carbonyl]amino]-, (S)-
OTHER NAMES:
CN BOC-L-Allylglycine
CN N-tert-Butoxycarbonyl-L-allylglycine
FS STEREOSEARCH
MF **C10 H17 N O4**
CI COM
LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT, CHEMCATS, CHEMINFORMRX, TOXLIT, USPATFULL
(*File contains numerically searchable property data)

Absolute stereochemistry. Rotation (+).



51 REFERENCES IN FILE CA (1967 TO DATE)
51 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 133:362944

REFERENCE 2: 133:321737

REFERENCE 3: 133:249268

REFERENCE 4: 133:237723

REFERENCE 5: 133:150920

REFERENCE 6: 132:137730

REFERENCE 7: 132:64530

REFERENCE 8: 131:299694

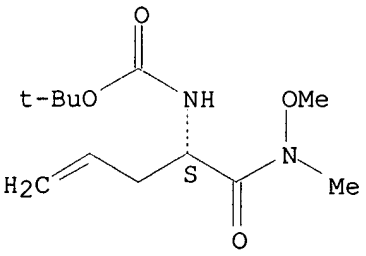
REFERENCE 9: 131:185205

REFERENCE 10: 130:168393

=> d ide can l80

L80 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2001 ACS
RN **208521-14-6** REGISTRY
CN Carbamic acid, [(1S)-1-[(methoxymethylamino)carbonyl]-3-butenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF **C12 H22 N2 O4**
SR CA
LC STN Files: CA, CAPLUS, CASREACT, USPATFULL

Absolute stereochemistry. Rotation (+).



5 REFERENCES IN FILE CA (1967 TO DATE)
5 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 133:321737

REFERENCE 2: 133:237723

REFERENCE 3: 132:137730

REFERENCE 4: 130:139205

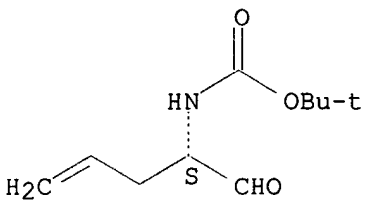
REFERENCE 5: 129:54603

=> d ide can l81

L81 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2001 ACS
RN **95107-99-6** REGISTRY
CN Carbamic acid, [(1S)-1-formyl-3-butenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:
CN Carbamic acid, (1-formyl-3-butenyl)-, 1,1-dimethylethyl ester, (S)-
FS STEREOSEARCH
MF **C10 H17 N O3**
LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT
(*File contains numerically searchable property data)

Absolute stereochemistry.



2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

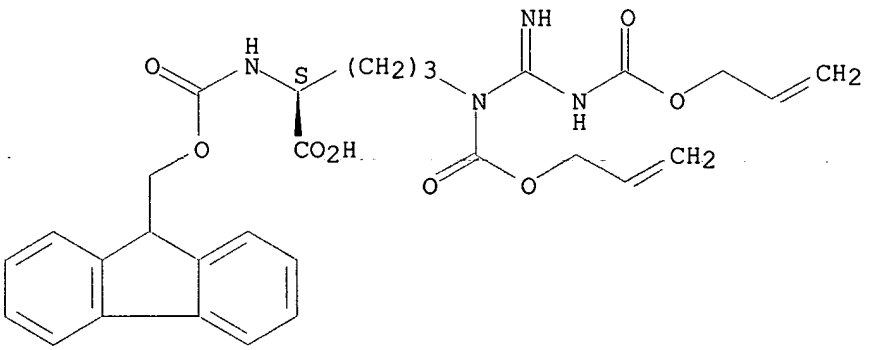
REFERENCE 1: 132:137730

REFERENCE 2: 102:113903

=> d ide can l86

L86 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2001 ACS
RN **146982-23-2** REGISTRY
CN 11-Oxa-2,7,9-triazatetradec-13-enoic acid, 3-carboxy-8-imino-10-oxo-7-[(2-propenyloxy)carbonyl]-, 1-(9H-fluoren-9-ylmethyl) ester, (S)- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN L-Ornithine, N2-[(9H-fluoren-9-ylmethoxy)carbonyl]-N5-[imino[[(2-propenyloxy)carbonyl]amino]methyl]-N5-[(2-propenyloxy)carbonyl]-
FS STEREOSEARCH
MF C29 H32 N4 O8
SR CA
LC STN Files: CA, CAPLUS, CHEMCATS

Absolute stereochemistry.



2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

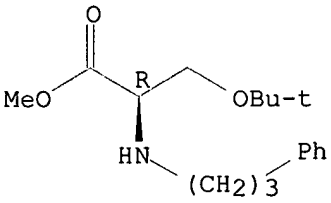
REFERENCE 1: 119:73123

REFERENCE 2: 118:213502

=> d ide can 187

L87 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2001 ACS
RN **256640-31-0** REGISTRY
CN D-Serine, O-(1,1-dimethylethyl)-N-(3-phenylpropyl)-, methyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF **C17 H27 N O3**
SR CA
LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry.



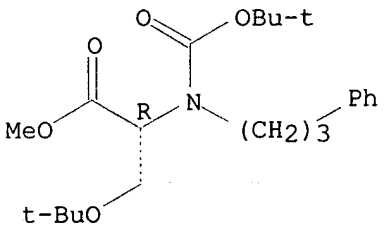
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 132:137730

=> d ide can 188

L88 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2001 ACS
RN **256640-32-1** REGISTRY
CN D-Serine, N-[(1,1-dimethylethoxy)carbonyl]-O-(1,1-dimethylethyl)-N-(3-phenylpropyl)-, methyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF **C22 H35 N O5**
SR CA
LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry.



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

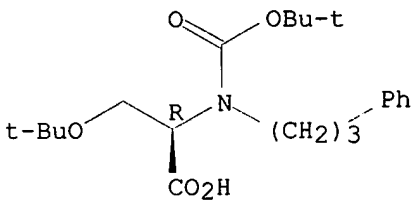
REFERENCE 1: 132:137730

=> d ide can 189

L89 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2001 ACS
RN **256640-33-2** REGISTRY
CN D-Serine, N-[(1,1-dimethylethoxy)carbonyl]-O-(1,1-dimethylethyl)-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH
MF **C21 H33 N O5**
SR CA
LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry.



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 132:137730

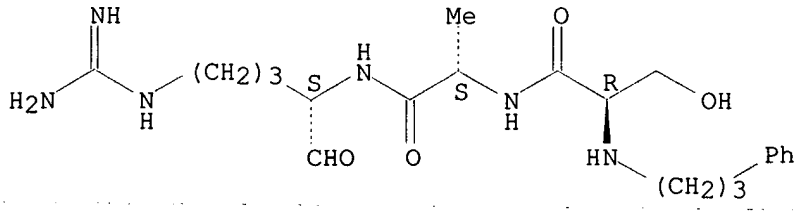
=> d ide can l90

L90 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2001 ACS
RN **256640-37-6** REGISTRY
CN L-Alaninamide, N-(3-phenylpropyl)-L-seryl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF **C21 H34 N6 O4 . C2 H F3 O2**
SR CA
LC STN Files: CA, CAPLUS

CM 1

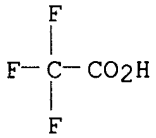
CRN 256640-36-5
CMF C21 H34 N6 O4

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



2 REFERENCES IN FILE CA (1967 TO DATE)

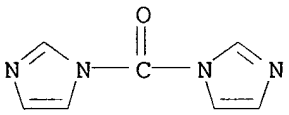
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 132:137731

REFERENCE 2: 132:137730

=> d ide can l5

L5 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2001 ACS
RN **530-62-1** REGISTRY
CN 1H-Imidazole, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Imidazole, 1,1'-carbonyldi- (6CI, 7CI, 8CI)
OTHER NAMES:
CN 1,1'-Carbonylbis-1H-imidazole
CN 1,1'-Carbonylbisimidazole
CN 1,1'-Carbonyldiimidazole
CN Diimidazol-1-yl ketone
CN N,N'-Carbonylbis(imidazole)
CN N,N'-Carbonyldiimidazole
FS 3D CONCORD
DR 128456-94-0
MF C7 H6 N4 O
CI COM
LC STN Files: AGRICOLA, BEILSTEIN*, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CANCERLIT, CAOLD, CAPLUS, CASREACT, CBNB, CHEMCATS, CHEMINFORMRX, CHEMLIST, CSCHEM, EMBASE, GMELIN*, HODOC*, IFICDB, IFIPAT, IFIUDB, IPA, MEDLINE, MRCK*, MSDS-OHS, PROMT, SPECINFO, SYNTHLINE, TOXLINE, TOXLIT, USPATFULL
(*File contains numerically searchable property data)
Other Sources: DSL**, EINECS**, TSCA**
(**Enter CHEMLIST File for up-to-date regulatory information)



1751 REFERENCES IN FILE CA (1967 TO DATE)
69 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
1754 REFERENCES IN FILE CAPLUS (1967 TO DATE)
27 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1: 134:42377

REFERENCE 2: 134:29656

REFERENCE 3: 134:29407

REFERENCE 4: 134:27299

REFERENCE 5: 134:27257

REFERENCE 6: 134:26515

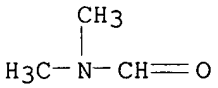
REFERENCE 7: 134:17604

REFERENCE 8: 134:9340

REFERENCE 9: 134:4946

REFERENCE 10: 134:4135

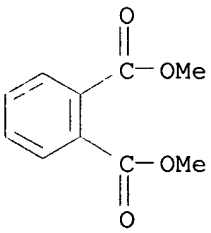
```
=> d ide can 16

L6   ANSWER 1 OF 1  REGISTRY  COPYRIGHT 2001 ACS
RN   68-12-2  REGISTRY
CN   Formamide, N,N-dimethyl- (8CI, 9CI)  (CA INDEX NAME)
OTHER NAMES:
CN   Dimethylformamide
CN   DMF
CN   DMF (amide)
CN   DMFA
CN   N,N-Dimethylformaldehyde
CN   N,N-Dimethylformamide
CN   N,N-Dimethylmethanamide
CN   N-Formyldimethylamine
FS   3D CONCORD
DR   15175-63-0, 15175-77-6, 114057-15-7, 33513-42-7
MF   C3 H7 N O
CI   COM
LC   STN Files:   AGRICOLA, AIDSLINE, ANABSTR, APILIT, APILIT2, APIPAT,
       APIPAT2, BEILSTEIN*, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CABA,
       CANCERLIT, CAOLD, CAPLUS, CASREACT, CBNB, CEN, CHEMCATS, CHEMINFORMRX,
       CHEMLIST, CHEMSAFE, CIN, CSCHEM, CSNB, DDFU, DETHERM*, DIOGENES, DIPPR*,
       DRUGU, EMBASE, GMELIN*, HODOC*, HSDB*, IFICDB, IFIPAT, IFIUDB, IPA,
       MEDLINE, MRCK*, MSDS-OHS, NAPRALERT, NIOSHTIC, PDLCOM*, PIRA, PROMT,
       RTECS*, SPECINFO, SYNTHLINE, TOXLINE, TOXLIT, TULSA, ULIDAT, USPATFULL,
       VTB
         (*File contains numerically searchable property data)
     Other Sources:   DSL**, EINECS**, TSCA**
         (**Enter CHEMLIST File for up-to-date regulatory information)
```



```
          20619 REFERENCES IN FILE CA (1967 TO DATE)
            298 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
          20641 REFERENCES IN FILE CAPLUS (1967 TO DATE)
             17 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE   1:  134:49289

REFERENCE   2:  134:48732

REFERENCE   3:  134:48512

REFERENCE   4:  134:48493

REFERENCE   5:  134:47563

REFERENCE   6:  134:47562

REFERENCE   7:  134:47554

REFERENCE   8:  134:47514

REFERENCE   9:  134:47172

REFERENCE  10:  134:46815
```

=> d ide can l22

L22 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2001 ACS
RN **131-11-3** REGISTRY
CN 1,2-Benzenedicarboxylic acid, dimethyl ester (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Phthalic acid, dimethyl ester (6CI, 8CI)
OTHER NAMES:
CN Avolin
CN Dimethyl 1,2-benzenedicarboxylate
CN Dimethyl o-phthalate
CN Dimethyl phthalate
CN DMF (insect repellant)
CN DMP
CN Fermine
CN Mipax
CN NTM
CN Palatinol M
CN Repeftal
CN Solvanom
CN Solvarone
CN Unimoll DM
FS 3D CONCORD
DR 64441-70-9
MF C10 H10 O4
CI COM
LC STN Files: AGRICOLA, ANABSTR, BEILSTEIN*, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CABA, CANCERLIT, CAOLD, CAPLUS, CASREACT, CBNB, CEN, CHEMCATS, CHEMINFORMRX, CHEMLIST, CHEMSAFE, CIN, CSCHEM, CSNB, DDFU, DETHERM*, DIOGENES, DIPPR*, DRUGU, EMBASE, GMELIN*, HODOC*, HSDB*, IFICDB, IFIPAT, IFIUDB, IPA, MEDLINE, MRCK*, MSDS-OHS, NAPRALERT, NIOSHTIC, PDLCOM*, PIRA, PROMT, RTECS*, SPECINFO, TOXLINE, TOXLIT, TRCTHERMO*, ULIDAT, USAN, USPATFULL, VTB
(*File contains numerically searchable property data)
Other Sources: DSL**, EINECS**, TSCA**
(**Enter CHEMLIST File for up-to-date regulatory information)



2885 REFERENCES IN FILE CA (1967 TO DATE)
23 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
2888 REFERENCES IN FILE CAPLUS (1967 TO DATE)
119 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1: 134:50799

REFERENCE 2: 134:39283

REFERENCE 3: 134:32699

REFERENCE 4: 134:30025

REFERENCE 5: 134:21105

REFERENCE 6: 134:20790

REFERENCE 7: 134:17159

REFERENCE 8: 134:14311

REFERENCE 9: 134:14080

REFERENCE 10: 134:12914

=> d ide can l23

L23 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2001 ACS
RN **108-24-7** REGISTRY
CN Acetic acid, anhydride (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Acetic anhydride (8CI)
OTHER NAMES:
CN Acetic oxide
CN Acetyl acetate
CN Acetyl anhydride
CN Acetyl ether
CN Acetyl oxide
CN Ethanoic anhydride
FS 3D CONCORD
MF C4 H6 O3
CI COM
LC STN Files: AGRICOLA, ANABSTR, APILIT, APILIT2, APIPAT, APIPAT2, BEILSTEIN*, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CANCERLIT, CAOLD, CAPLUS, CASREACT, CBNB, CEN, CHEMCATS, CHEMINFORMRX, CHEMLIST, CHEMSAFE, CIN, CSCHEM, CSNB, DETHERM*, DIPPR*, EMBASE, GMELIN*, HODOC*, HSDB*, IFICDB, IFIPAT, IFIUDB, IPA, MEDLINE, MRCK*, MSDS-OHS, NIOSHTIC, PDLCOM*, PIRA, PROMT, RTECS*, SPECINFO, TOXLINE, TOXLIT, TULSA, ULIDAT, USPATFULL, VTB
(*File contains numerically searchable property data)
Other Sources: DSL**, EINECS**, TSCA**
(**Enter CHEMLIST File for up-to-date regulatory information)

Ac—O—Ac

11001 REFERENCES IN FILE CA (1967 TO DATE)
276 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
11015 REFERENCES IN FILE CAPLUS (1967 TO DATE)
4 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1: 134:50787

REFERENCE 2: 134:50642

REFERENCE 3: 134:50620

REFERENCE 4: 134:43602

REFERENCE 5: 134:42940

REFERENCE 6: 134:42316

REFERENCE 7: 134:42315

REFERENCE 8: 134:42314

REFERENCE 9: 134:42313

REFERENCE 10: 134:42108

=> d ide can l25

L25 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2001 ACS
RN **75-09-2** REGISTRY
CN Methane, dichloro- (8CI, 9CI) (CA INDEX NAME)
OTHER NAMES:
CN Aerothene MM
CN Dichloromethane
CN F 30
CN F 30 (chlorocarbon)
CN Freon 30
CN HCC 30
CN Khladon 30
CN Metaclen
CN Methane dichloride
CN Methylene chloride
CN Methylene dichloride
CN Narkotil
CN R 30
CN R 30 (refrigerant)
CN Solaesthin
CN Soleana VDA
CN Solmethine
FS 3D CONCORD
MF C H2 Cl2
CI COM
LC STN Files: AGRICOLA, ANABSTR, APILIT, APILIT2, APIPAT, APIPAT2, BEILSTEIN*, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CABA, CANCERLIT, CAOLD, CAPLUS, CASREACT, CBNB, CEN, CHEMCATS, CHEMINFORMRX, CHEMLIST, CHEMSAFE, CIN, CSCHEM, CSNB, DDFU, DETHERM*, DIOGENES, DIPPR*, DRUGU, EMBASE, GMELIN*, HODOC*, HSDB*, IFICDB, IFIPAT, IFIUDB, IPA, MEDLINE, MRCK*, MSDS-OHS, NAPRALERT, NIOSHTIC, PDLCOM*, PIRA, PROMT, RTECS*, SPECINFO, TOXLINE, TOXLIT, TRCTHERMO*, TULSA, ULIDAT, USAN, USPATFULL, VTB
(*File contains numerically searchable property data)
Other Sources: DSL**, EINECS**, TSCA**
(**Enter CHEMLIST File for up-to-date regulatory information)

$Cl-CH_2-Cl$

17931 REFERENCES IN FILE CA (1967 TO DATE)
74 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
17947 REFERENCES IN FILE CAPLUS (1967 TO DATE)
7 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1: 134:50792

REFERENCE 2: 134:50265

REFERENCE 3: 134:50114

REFERENCE 4: 134:49450

REFERENCE 5: 134:49151

REFERENCE 6: 134:49076

REFERENCE 7: 134:48681

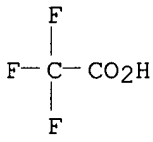
REFERENCE 8: 134:47340

REFERENCE 9: 134:46768

REFERENCE 10: 134:46332

=> d ide can l26

L26 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2001 ACS
RN **76-05-1** REGISTRY
CN Acetic acid, trifluoro- (8CI, 9CI) (CA INDEX NAME)
OTHER NAMES:
CN 2,2,2-Trifluoroacetic acid
CN Perfluoroacetic acid
CN TFA
CN Trifluoroacetic acid
CN Trifluoroethanoic acid
MF C2 H F3 O2
CI COM
LC STN Files: AGRICOLA, AIDSLINE, ANABSTR, APILIT, APILIT2, APIPAT, APIPAT2, BEILSTEIN*, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CANCERLIT, CAOLD, CAPLUS, CASREACT, CBNB, CEN, CHEMCATS, CHEMINFORMRX, CHEMLIST, CIN, CSCHEM, CSNB, DDFU, DETHERM*, DIPPR*, DRUGU, EMBASE, GMELIN*, HODOC*, IFICDB, IFIPAT, IFIUDB, IPA, MEDLINE, MRCK*, MSDS-OHS, NIOSHTIC, PDLCOM*, PIRA, PROMT, RTECS*, SPECINFO, TOXLINE, TOXLIT, ULIDAT, USPATFULL, VTB
(*File contains numerically searchable property data)
Other Sources: DSL**, EINECS**, TSCA**
(**Enter CHEMLIST File for up-to-date regulatory information)



5468 REFERENCES IN FILE CA (1967 TO DATE)
158 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
5473 REFERENCES IN FILE CAPLUS (1967 TO DATE)
7 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1: 134:46503

REFERENCE 2: 134:43401

REFERENCE 3: 134:42416

REFERENCE 4: 134:41911

REFERENCE 5: 134:29793

REFERENCE 6: 134:29518

REFERENCE 7: 134:29489

REFERENCE 8: 134:28977

REFERENCE 9: 134:26515

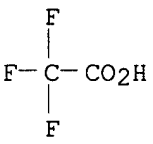
REFERENCE 10: 134:26463

=> d ide can l28

L28 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2001 ACS
RN 145721-38-6 REGISTRY
CN Acetic acid, trifluoro-, mixt. with dichloromethane (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Methane, dichloro-, mixt. contg. (9CI)
OTHER NAMES:
CN Methylene chloride-trifluoroacetic acid mixt.
MF **C2 H F3 O2 . C H2 Cl2**
CI MXS
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

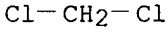
CM 1

CRN 76-05-1
CMF C2 H F3 O2



CM 2

CRN 75-09-2
CMF C H2 Cl2



6 REFERENCES IN FILE CA (1967 TO DATE)
6 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:82184

REFERENCE 2: 121:257934

REFERENCE 3: 119:29983

REFERENCE 4: 118:193629

REFERENCE 5: 118:149453

REFERENCE 6: 118:61526

=> d ide can l29

L29 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2001 ACS
RN **100-68-5** REGISTRY
CN Benzene, (methylthio)- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Sulfide, methyl phenyl (6CI, 8CI)
OTHER NAMES:
CN (Methylthio)benzene
CN 1-Phenyl-1-thiaethane
CN Anisole, thio-
CN Methyl phenyl sulfide

CN Methylphenyl thioether
CN Phenyl methyl sulfide
CN Phenylthiomethane
CN Thioanisol
CN Thioanisole
FS 3D CONCORD
MF C7 H8 S
CI COM
LC STN Files: AGRICOLA, ANABSTR, BEILSTEIN*, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CANCERLIT, CAOLD, CAPLUS, CASREACT, CHEMCATS, CHEMINFORMRX, CHEMLIST, CHEMSAFE, CIN, CSCHEM, DETHERM*, EMBASE, GMELIN*, HODOC*, IFICDB, IFIPAT, IFIUDB, IPA, MEDLINE, MSDS-OHS, PIRA, PROMT, RTECS*, SPECINFO, SYNTHLINE, TOXLINE, TOXLIT, TRCTHERMO*, USPATFULL
(*File contains numerically searchable property data)
Other Sources: EINECS**, NDSL**, TSCA**
(**Enter CHEMLIST File for up-to-date regulatory information)

Me—S—Ph

1881 REFERENCES IN FILE CA (1967 TO DATE)
17 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
1882 REFERENCES IN FILE CAPLUS (1967 TO DATE)
67 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1: 134:50643

REFERENCE 2: 134:29410

REFERENCE 3: 134:21937

REFERENCE 4: 134:17209

REFERENCE 5: 134:4616

REFERENCE 6: 134:4509

REFERENCE 7: 133:367838

REFERENCE 8: 133:350226

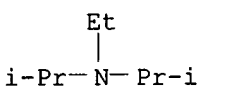
REFERENCE 9: 133:349879

REFERENCE 10: 133:341749

=> d ide can l40

L40 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2001 ACS
RN **7087-68-5** REGISTRY
CN 2-Propanamine, N-ethyl-N-(1-methylethyl)- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Triethylamine, 1,1'-dimethyl- (6CI, 7CI, 8CI)
OTHER NAMES:
CN 1,1'-Dimethyltriethylamine
CN Bis(1-methylethyl)ethylamine
CN DIEA
CN Diisopropylethylamine
CN Huenig's base
CN Hunig's base
CN Hunig's reagent
CN N,N-Diisopropylethylamine
CN N-Ethyl-N,N-diisopropylamine

CN N-Ethyldiisopropylamine
FS 3D CONCORD
MF C8 H19 N
CI COM
LC STN Files: AGRICOLA, BEILSTEIN*, BIOBUSINESS, BIOSIS, CA, CAOLD, CAPLUS, CASREACT, CBNB, CEN, CHEMCATS, CHEMINFORMRX, CHEMLIST, CIN, CSCHEM, CSNB, DETHERM*, GMELIN*, HODOC*, IFICDB, IFIPAT, IFIUDB, MEDLINE, MSDS-OHS, PROMT, SPECINFO, TOXLINE, TOXLIT, USPATFULL
(*File contains numerically searchable property data)
Other Sources: DSL**, EINECS**, TSCA**
(**Enter CHEMLIST File for up-to-date regulatory information)



921 REFERENCES IN FILE CA (1967 TO DATE)
10 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
922 REFERENCES IN FILE CAPLUS (1967 TO DATE)
5 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1: 134:50805

REFERENCE 2: 134:42376

REFERENCE 3: 134:42373

REFERENCE 4: 134:30162

REFERENCE 5: 134:29679

REFERENCE 6: 134:29651

REFERENCE 7: 134:26204

REFERENCE 8: 134:9340

REFERENCE 9: 134:5279

REFERENCE 10: 134:1735

=> fil hcaplus

FILE 'HCAPLUS' ENTERED AT 11:48:03 ON 12 JAN 2001
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1967 - 12 Jan 2001 VOL 134 ISS 4
FILE LAST UPDATED: 11 Jan 2001 (20010111/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

Now you can extend your author, patent assignee, patent information, and title searches back to 1907. The records from 1907-1966 now have this searchable data in CAOLD. You now have electronic access to all of CA: 1907 to 1966 in CAOLD and 1967 to the present in HCAPLUS on STN.

=> d l119 bib abs hitrn tot

L119 ANSWER 1 OF 52 HCAPLUS COPYRIGHT 2001 ACS
AN 2000:567771 HCAPLUS
DN 133:296012
TI New Synthetic Technology for Efficient Construction of .alpha.-Hydroxy-.beta.-amino Amides via the Passerini Reaction
AU **Semple, J. Edward**; Owens, Timothy D.; Nguyen, Khanh; Levy, Odile E.
CS Department of Medicinal Chemistry, **Corvas** International Inc., San Diego, CA, 92121, USA
SO Org. Lett. (2000), 2(18), 2769-2772
CODEN: ORLEF7; ISSN: 1523-7060
PB American Chemical Society
DT Journal
LA English
AB The Passerini reaction of N-protected amino aldehydes, isonitriles, and **TFA** using pyridine-type bases proceeds under mild conditions and directly affords .alpha.-hydroxy-.beta.-amino amide derivs. in moderate to high yields. These adducts are readily hydrolyzed to .alpha.-hydroxy-.beta.-amino carboxylic acids. Application of these key intermediates to concise syntheses of P1-.alpha.-ketoamide protease inhibitors is illustrated.
IT **174960-81-7**
RL: RCT (Reactant)
(prepn. of .alpha.-hydroxy-.beta.-amino amides via Passerini reaction)
RE.CNT 50
RE
(2) Armstrong, R; Acc Chem Res 1996, V29, P123 HCAPLUS
(3) Banfi, L; Chem Commun 2000, P985 HCAPLUS
(4) Bienayme, H; Tetrahedron Lett 1998, V39, P4255 HCAPLUS
(5) Brady, S; Bioorg Med Chem 1995, V3, P1063 HCAPLUS
(6) Carofiglio, T; Organometallics 1993, V12, P2726 HCAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

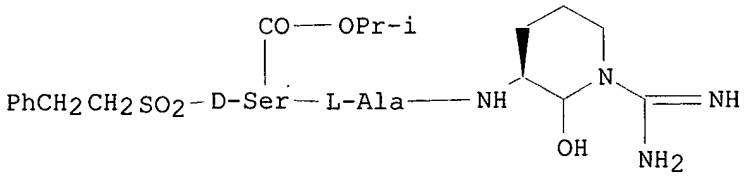
L119 ANSWER 2 OF 52 HCAPLUS COPYRIGHT 2001 ACS
AN 2000:332294 HCAPLUS
TI Novel **hydrazinyl-carbonyl-amino methylated polystyrene (HCAM) resin** methodology for the synthesis of p1-aldehyde protease inhibitor candidates.
AU Semple, J. Edward; Siev, Daniel V.
CS Department of Medicinal Chemistry, Corvas International, Inc, San Diego, CA, 92121, USA
SO Book of Abstracts, 219th ACS National Meeting, San Francisco, CA, March 26-30, 2000 (2000), ORGN-169 Publisher: American Chemical Society, Washington, D. C.
CODEN: 69CLAC
DT Conference; Meeting Abstract
LA English
AB Combinatorial technol. is at the forefront of org. and medicinal chem. and is receiving widespread attention as a powerful tool in drug discovery and optimization. In connection with our exploratory protease inhibitor platforms, a novel and convenient protocol for the combinatorial prodn. of peptidyl and peptidomimetic P1-aldehyde (PA) libraries was sought. We describe an efficient route to the title compds. 3 by application of a novel **Hydrazino-Carbonyl-Amino Methylated polystyrene resin** (1, **HCAM**

resin). **HCAM** resin 1 is prepd. from aminomethylated **polystyrene** resin (AMPS resin) and condensed with an appropriate N-.alpha.-protected peptide aldehyde deriv. to provide intermediate 2. Typical SPS chem. manipulations (deprotection, coupling, orthogonal side-chain reactions, etc.) on intermediate 2 followed by a final hydrolysis releases the elaborated targets 3 from the solid support. Examples of specific targets prepd. by parallel techniques will be presented herein which embrace a range of structural variety.

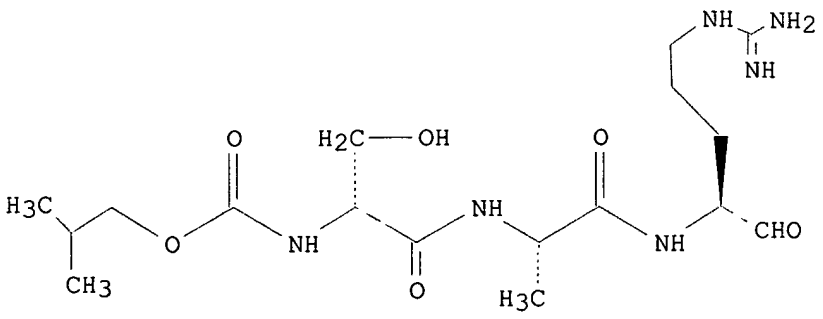
L119 ANSWER 3 OF 52 HCAPLUS COPYRIGHT 2001 ACS
AN 2000:84824 HCAPLUS
DN 132:137731
TI Preparation of peptides as inhibitors of urokinase and blood vessel formation
IN Brunck, Terence K.; Tamura, Susan Y.
PA **Corvas** International, Inc., USA
SO PCT Int. Appl., 194 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000005245	A2	20000203	WO 1999-US16577	19990722 <--
	WO 2000005245	A3	20000420		
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9950058	A1	20000214	AU 1999-50058	19990722 <--
PRAI	US 1998-121921	19980724 <--			
	WO 1999-US16577	19990722			

OS MARPAT 132:137731
GI



I



II

AB Title compds. RXNHCH(R1)CON(R2)CH(R4)CONHR3 [X = SO2, CO, OCO, NHCO; R = alkyl, cycloalkyl, heterocycloalkyl; R1 = HOCH2, CH3SCH2, side-chain or ring of amino acid ; R2 = CH3, CH3CH2, side-chain or ring of amino acid; R3 = CH3, propargyl; R4 = H; R3R4 = prolyl, 4-hydroxyprolyl, 3-hydroxyprolyl, 3,4-dehydroprolyl;] and stereoisomers are prepd. having activities as inhibitors of urokinase and in reducing or inhibiting blood vessel formations. These compds. have an arginine or arginine mimic aldehyde or an arginine ketoamide group at P1. These compds. are useful in vitro for monitoring plasminogen activator levels and in vivo in treatment of conditions which are ameliorated by inhibition of or decreased activity of urokinase and in treating pathol. conditions wherein blood vessel formation is related to a pathol. condition. The title compds. I and II was prepd.

IT **256640-37-6P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of peptides as inhibitors of urokinase and blood vessel formation)

IT **256640-21-8**
RL: RCT (Reactant)
(prepn. of peptides as inhibitors of urokinase and blood vessel formation)

IT **256640-20-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of peptides as inhibitors of urokinase and blood vessel formation)

L119 ANSWER 4 OF 52 HCAPLUS COPYRIGHT 2001 ACS
AN 2000:84821 HCAPLUS
DN 132:137730
TI Preparation of derivatized **resins** useful for **solid-phase** peptide synthesis, combinatorial chemistry, and peptide or protein purification and separation
IN **Siev, Daniel V.**; **Semple, J. Edward**; **Weinhouse, Michael I.**
PA **Corvas** International Inc., USA
SO PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000005243	A2	20000203	WO 1999-US16828	19990723 <--
WO 2000005243	A3	20000420		

W: JP
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

PRAI US 1998-122576 19980724 <--
OS CASREACT 132:137730
AB This invention provides a method for producing a derivatized **resin** of formula R4NH(C:X)Y-Z-SS [R4 = (un)protected NH2 or OH; X = O, S, NR7; R7 = H, alkyl, alkenyl, aryl, aralkyl, cycloalkyl, heterocyclyl; Y = absent, NH, CH2; Z = absent, NH, O, CO, S, SO2, alkyl, alkenyl, aryl, aralkyl, cycloalkyl, heterocyclyl, and combinations thereof, with provisos; SS = **solid support**], useful in the arts of **solid-phase** peptide synthesis, combinatorial chem., and peptide or protein purifn. and sepn. Methods for synthesizing the derivatized **resin**, the prototypical example of which is hydrazyl-carbonyl-aminomethylated **polystyrene** (HCAM **resin**), are disclosed. Thus, aminomethylated **polystyrene** was coupled with **t-Bu carbazate** using 1,1-**carbonyldiimidazole** in **DMF** and deprotected with **DCM/TFA** to give HCAM **resin**. Alternatively, HCAM **resin** was also prepd. by coupling of **hydrazine** to

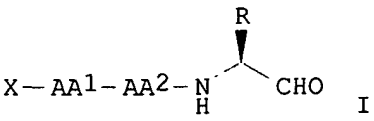
aminomethylated **polystyrene** using 1,1-**carbonyldiimidazole** in **DMF**. Reaction of an aldehyde or ketoamide with the free amino group of the **resin** results in an immobilized product, through a semicarbazone moiety, which can be manipulated using std. **solid-phase** peptide synthetic methods. As opposed to known methods for peptide aldehyde or ketoamide synthesis, the process of this invention provides, among other benefits, a method of **solid-phase** peptide or peptide analog synthesis that minimizes the amt. of soln. phase synthetic steps required.

IT **174960-52-2P 256640-35-4P 256640-37-6P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of derivatized **solid supports** for use in the synthesis of arginal and other peptide or peptidomimetic aldehydes and ketoamides)

IT **302-01-2, Hydrazine**, reactions **870-46-2, tert-Butyl carbazate 143824-77-5 146982-20-9 174960-81-7**
RL: RCT (Reactant)
(prepn. of derivatized **solid supports** for use in the synthesis of arginal and other peptide or peptidomimetic aldehydes and ketoamides)

IT **471-31-8DP**, Hydrazinecarboxylic acid, aminomethylated **polystyrene resin**-bound **90600-20-7P 95107-99-6P 208521-14-6P 256640-13-8DP**, aminomethylated **polystyrene resin**-bound **256640-14-9DP**, aminomethylated **polystyrene resin**-bound **256640-15-0DP**, aminomethylated **polystyrene resin**-bound **256640-16-1DP**, aminomethylated **polystyrene resin**-bound **256640-17-2DP**, aminomethylated **polystyrene resin**-bound **256640-18-3DP**, aminomethylated **polystyrene resin**-bound **256640-19-4DP**, aminomethylated **polystyrene resin**-bound **256640-20-7P 256640-21-8P 256640-22-9DP**, aminomethylated **polystyrene resin**-bound **256640-23-0DP**, aminomethylated **polystyrene resin**-bound **256640-24-1DP**, aminomethylated **polystyrene resin**-bound **256640-25-2DP**, aminomethylated **polystyrene resin**-bound **256640-26-3DP**, aminomethylated **polystyrene resin**-bound **256640-27-4DP**, aminomethylated **polystyrene resin**-bound **256640-28-5DP**, aminomethylated **polystyrene resin**-bound **256640-29-6P 256640-30-9P 256640-31-0P 256640-32-1P 256640-33-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of derivatized **solid supports** for use in the synthesis of arginal and other peptide or peptidomimetic aldehydes and ketoamides)

L119 ANSWER 5 OF 52 HCAPLUS COPYRIGHT 2001 ACS
AN 1999:788495 HCAPLUS
DN 132:222836
TI Novel **Hydrazino-Carbonyl-Amino-Methylated polystyrene** (HCAM) **resin** methodology for the synthesis of P1-aldehyde protease inhibitor candidates
AU **Siev, Daniel V.; Semple, J. Edward**
CS Department of Medicinal Chemistry, **Corvas** International Inc., San Diego, CA, 92121, USA
SO Org. Lett. (2000), 2(1), 19-22
CODEN: ORLEF7; ISSN: 1523-7060
PB American Chemical Society
DT Journal
LA English
GI



I

AB A new strategy for the synthesis of peptidyl and peptidomimetic aldehydes I [X = Cbz, PhCH2SO2, PhCO, MeCO; AA1 = homoGlu, Asp; AA2 = Sar, Nva; AA1AA2 = 3(S)-amino-2-oxo-1-piperidinoacetyl; R = (CH2)3NHC(:NH)NH2, CH2C.tplbond.CH, CH2CH:CH2, CH2SMe] on HCAM **solid support** is described. The appropriate C-terminal aldehyde precursors were prepd. and anchored to a **resin** support via a semicarbazone linkage (HCAM **resin**). After synthetic elaboration, acidic hydrolysis efficiently delivered I in good overall yields and in excellent purity.

IT **870-46-2**
RL: RCT (Reactant)
(using **polystyrene** (HCAM) **resin** methodol. to prep. peptidyl P1-aldehyde scaffolds as possible protease inhibitors)

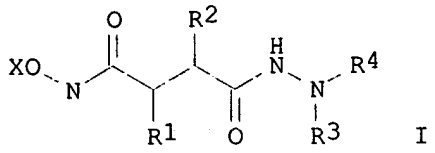
IT **174960-52-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(using **polystyrene** (HCAM) **resin** methodol. to prep. peptidyl P1-aldehyde scaffolds as possible protease inhibitors)

RE.CNT 41
RE
(1) Basak, A; Int J Peptide Protein Res 1994, V44, P253 HCAPLUS
(2) Brown, A; J Am Chem Soc 1997, V119, P3288 HCAPLUS
(3) Coffen, D; Med Chem Res 1998, V8, P206 HCAPLUS
(5) Fehrentz, J; J Org Chem 1997, V62, P6792 HCAPLUS
(6) Fehrentz, J; Tetrahedron Lett 1995, V36, P7871 HCAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

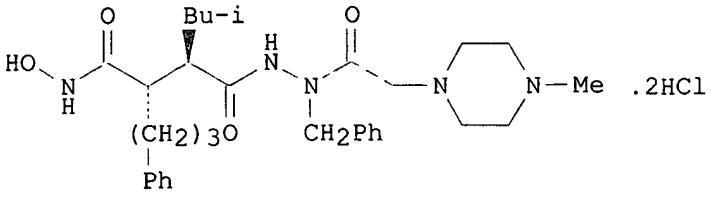
L119 ANSWER 6 OF 52 HCAPLUS COPYRIGHT 2001 ACS
AN 1999:511132 HCAPLUS
DN 131:157991
TI Preparation of novel azapeptide type hydroxamic acid derivatives having a TNF.alpha. prodn. inhibitory effect
IN Sugiyama, Naoki; Yoshida, Tomohiro; Takeda, Shinji; Maeda, Kazuhiro; Gotou, Tomokazu; Takemoto, Tadahiro
PA Yoshitomi Pharmaceutical Industries, Ltd., Japan
SO PCT Int. Appl., 160 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9940063	A1	19990812	WO 1999-JP439	19990203 <--
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9922983	A1	19990823	AU 1999-22983	19990203 <--
PRAI	JP 1998-25664	19980206 <--			
	WO 1999-JP439	19990203			

OS MARPAT 131:157991
GI



I



.2HCl

AB Disclosed are azapeptide type hydroxamic acid derivs. represented by general formula [I; wherein X represents hydrogen or a hydroxyl-protective group; R1 represents hydrogen, hydroxy, amino, mercapto, alkoxy, alkyl, alkenyl, aryl or -(CH2)k-A; wherein A represents (un)substituted 5- or 6-membered N-heterocyclyl; k = 1-4; R2 represents hydrogen, (un)substituted alkyl or aryl; R3 represents hydrogen, (un)substituted alkyl, aryl, or heteroaryl or -(CONH)m-(CHR11)n-Y; wherein R11 represents hydrogen or (un)substituted alkyl; m is 0 or 1; n is 0-4; Y represents CO2R12, CONR12R12', or COR12 [wherein R12 and R12' represent hydrogen, (un)substituted alkyl or aryl or NR12R12 forms (un)substituted heterocyclyl]; and R4 represents alkyl, aryl, heteroaryl, -SO2R12, -CO-(CH2)q -NR12R12', -CONH-Z-R13 or -(CONH)m-(CHR11)n-Y, or R3 and R4 may together form a nitrogen-contg. heterocycle; wherein R11, Y, m, R12, and R12' are same above; Z represents C2-4 alkylene; R13 represents hydroxy, amino, or NR12R12'] or pharmacol. acceptable salts thereof and medicinal compns. contg. the same. Because of having a TNF.alpha. (tumor necrosis factor-.alpha.) prodn. inhibitory effect, these compds. are useful in preventing and treating autoimmune diseases, inflammatory diseases, etc., for example, sepsis, MOF (multiple organ failure), chronic rheumatoid arthritis, Crohn's disease, cachexia, severe adynamia, systemic lupus erythematosus, asthma, type I diabetes and psoriasis. Thus, N-[4-hydroxy-(2R)-isobutylsuccinyl]aza-(2-naphthyl)alanyl-L-alanine benzyl ester was condensed with hydroxylamine hydrochloride using BPO reagent and 4-methylmorpholine in pyridine to give 58% N-[4-(N'-hydroxyamino)-(2R)-isobutylsuccinyl]aza-(2-naphthyl)alanyl-L-alanine benzyl ester which underwent amidation with MeNH2 in MeOH at room temp. for 30 min to give 33% N-[4-(N'-hydroxyamino)-(2R)-isobutylsuccinyl]aza-(2-naphthyl)alanyl-L-alanine N''-methylamide. In an ELISA assay, the title compd. (II) in vitro showed IC50 of 0.53 .mu.M for inhibiting the prodn. of TNF.alpha. in THP-1 cells.

IT **530-62-1 870-46-2, tert-Butyl carbazate**
RL: RCT (Reactant)
(prepn. of novel azapeptide type hydroxamic acid derivs. as TNF-.alpha. prodn. inhibitors for treatment of diseases)

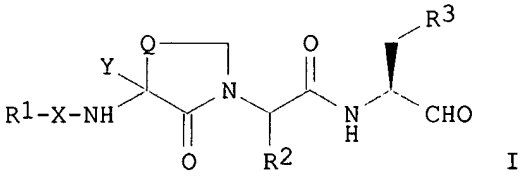
RE.CNT 21
RE
(1) Amine, F; Pharmazie 1977, V32(8-9), P538 HCAPLUS
(2) Behringwerke Ag; US 5556941 A HCAPLUS
(3) Behringwerke Ag; EP 558961 A2 HCAPLUS
(5) F Hoffmann-La Roche A-G; DE 19829229 A1 HCAPLUS
(6) F Hoffmann-La Roche A-G; GB 2326881 A1 HCAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L119 ANSWER 7 OF 52 HCAPLUS COPYRIGHT 2001 ACS
AN 1999:487130 HCAPLUS
DN 131:116524
TI 3-Amino-2-oxo-1-piperidineacetic derivatives containing an arginine mimic

as enzyme inhibitors
IN **Semple, Joseph E.**; Levy, Odile E.; Nutt, Ruth F.; Ripka, William C.
PA **Corvas** International, Inc., USA
SO U.S., 38 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 4

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5932733	A	19990803	US 1995-482117	19950607 <--
US 5714499	A	19980203	US 1994-261498	19940617 <--
CA 2192211	AA	19951228	CA 1995-2192211	19950619 <--
WO 9535313	A1	19951228	WO 1995-US7832	19950619 <--
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9529054	A1	19960115	AU 1995-29054	19950619 <--
EP 765339	A1	19970402	EP 1995-924623	19950619 <--
EP 765339	B1	19990127		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 10503177	T2	19980324	JP 1995-502570	19950619 <--
AT 176241	E	19990215	AT 1995-924623	19950619 <--

PRAI US 1994-261498 19940617 <--
US 1994-356831 19941213 <--
US 1995-482117 19950607 <--
WO 1995-US7832 19950619 <--
OS MARPAT 131:116524
GI



I

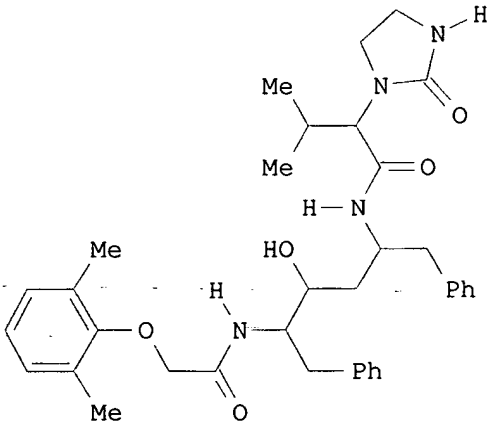
AB Peptide aldehydes I [X = SO2, NR'SO2 (R' = H, alkyl, aryl, aralkyl), CO, O2C, NHCO, P(O)R'' (R'' = NR', OR', R', SR', where R' .noteq. H), direct link; R1 = (un)substituted alkyl, cycloalkyl, heterocycloalkyl, alkenyl, aryl, etc.; Q = (CH2)n (n = 1-4), (CH2)qR4 [q = 1, 2; R4 = S(O)p (p = 0-2), O, NR5 (R5 = H, alkyl, aryl)]; R2 = H, alkyl, alkenyl; R3 = 3-amidinocyclohexyl or -Ph, 1-amidino-3-piperidyl; Y is selected from R1 substituents, but not certain aza heterocycles] and their pharmaceutically acceptable salts were prepd. as thrombin inhibitors. Thus, benzylsulfonyl-norval(cyclo)-Gly-3-[3-piperidyl(N-guanidino)]-L-alaninal was prepd. as a mixt. of diastereomers. Isomer B showed inhibition const. Ki = 0.318 .+-. 16 nM against human .alpha.-thrombin amidolytic activity.
IT **870-46-2, tert-Butyl carbazate**
RL: RCT (Reactant)
(aminooxopiperidineacetic derivs. contg. an arginine mimic as enzyme inhibitors)
IT **174960-81-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(aminooxopiperidineacetic derivs. contg. an arginine mimic as enzyme inhibitors)
RE.CNT 18
RE

(1) Abood; US 5424334 1995 HCAPLUS
(3) Anon; FR 2490632 1982 HCAPLUS
(4) Anon; EP 0526877 1993 HCAPLUS
(7) Bajusz; US 4399065 1983 HCAPLUS
(8) Coughlin, P; Proc Natl Acad Sci U.S.A 1993, V90, P9417 HCAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L119 ANSWER 8 OF 52 HCAPLUS COPYRIGHT 2001 ACS
AN 1999:393986 HCAPLUS
DN 131:59143
TI Preparation of peptide analogs as retroviral protease inhibitors
IN Sham, Hing Leung; Norbeck, Daniel W.; Chen, Xiaoqi; Betebenner, David A.
PA Abbott Laboratories, USA
SO U.S., 44 pp., Cont.-in-part of U.S. Ser. No. 572,226, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5914332	A	19990622	US 1996-753201	19961121 <--
	CA 2238978	AA	19970619	CA 1996-2238978	19961206 <--
	WO 9721685	A1	19970619	WO 1996-US20440	19961206 <--
	W: AU, CA, CN, CZ, HU, IL, JP, KR, MX, NZ				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9713422	A1	19970703	AU 1997-13422	19961206 <--
	AU 725369	B2	20001012		
	EP 882024	A1	19981209	EP 1996-944941	19961206 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
	CN 1208405	A	19990217	CN 1996-199904	19961206 <--
	JP 2000502085	T2	20000222	JP 1997-522278	19961206 <--
PRAI	US 1995-572226	19951213 <--			
	US 1996-753201	19961121 <--			
	WO 1996-US20440	19961206 <--			

GI



II

AB R4Z1CONHCHR1CH(OH)CH2CHR2NHCOCHR3R5 [I; R1,R2 = lower alkyl, cycloalkylalkyl, arylalkyl; R3 = lower alkyl, hydroxyalkyl, cycloalkylalkyl; R4 = aryl, heterocyclyl; R5 = N-attached (thi)oxo- or iminoazacycloalkyl; Z1 = Z, O, S, (alkyl)imino, OZ, ZO, NHZ, etc.; Z = alkylene] were prepd. Thus, title compd. (S,S,S)-II was prepd. in 8 steps from L-phenylalanine. Data for biol. activity of I were given.

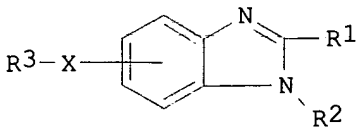
IT **530-62-1 870-46-2**, N-**Tert-Butoxycarbonylhydrazine**
RL: RCT (Reactant)

(prepn. of peptide analogs as retroviral protease inhibitors for inhibiting HIV infection)
RE.CNT 17
RE
(1) Anon; EP 0005689 1979 HCAPLUS
(2) Anon; EP 0342541 1989 HCAPLUS
(3) Anon; WO 8910752 1989 HCAPLUS
(4) Anon; EP 365992 1990 HCAPLUS
(5) Anon; EP 0428849 1991 HCAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

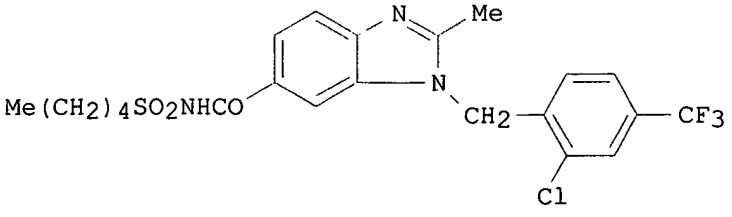
L119 ANSWER 9 OF 52 HCAPLUS COPYRIGHT 2001 ACS
AN 1999:34901 HCAPLUS
DN 130:95550
TI Preparation of benzimidazole derivatives having blood sugar-lowering (hypoglycemic) and phosphodiesterase 5 (PDE5)-inhibitory activities
IN Yamasaki, Noritsugu; Imoto, Takafumi; Oku, Teruo; Katayama, Akira; Kayakiri, Hiroshi; Onomura, Osamu; Hiramura, Takahiro; Nishikawa, Masahiro; Sawada, Hitoshi
PA Fujisawa Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 167 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9900373	A1	19990107	WO 1998-JP2885	19980626 <--
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9879346	A1	19990119	AU 1998-79346	19980626 <--
	ZA 9805598	A	19990125	ZA 1998-5598	19980626 <--
	BR 9811273	A	20000718	BR 1998-11273	19980626 <--
	EP 1020452	A1	20000719	EP 1998-929723	19980626 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

PRAI JP 1997-187696 19970627 <--
JP 1998-76357 19980325 <--
WO 1998-JP2885 19980626 <--
OS MARPAT 130:95550
GI



I



II

AB New benzimidazole derivs. of general formula (I; R1 = H, lower alkyl, alkoxy, or alkylthio; R2 = arom. ring-contg. lower alkyl which may be substituted; R3 = alkyl, hydroxy-lower alkyl, alkenyl, heterocyclyl, haloaryl, lower alkylaryl, lower alkenylaryl, aryl-lower alkyl, aryl-lower alkenyl; X = NHSO2NHCO, SO2 NHNHCO, SO2NHCONH, SO2 NHCO, NHCONH) or salts thereof are prepd. These compds. are useful for the treatment or prevention of impaired glucose tolerance, diabetes, complication of diabetes, insulin resistant syndrome, polycystic ovarian syndrome, hyperlipidemia, atherosclerosis, cardiovascular diseases, hyperglycemia, hypertension, angina pectoris, pulmonary hypertension, congestive heart failure, glomerular diseases, renal tubular interstitial diseases, renal insufficiency, angiostenosis, peripheral vascular diseases, cerebral stroke, chronic reversible obstructive diseases, autoimmune diseases, allergic rhinitis, urticaria (hives), glaucoma, intestinal motility disorders, sexual impotence, nephritis, cachexia, or post-percutaneous transluminal coronary angioplasty (PTCA) reconstriction. Thus, 6-carboxy-1-[2-chloro-4-(trifluoromethyl)benzyl]-2-methylbenzimidazole was stirred with N,N'-**carbonyl diimidazole** in **DMF** at room temp. 1.5 h and then condensed with 1-pentanesulfonamide at 100.degree. for 6.5 h to give the title compd. (II). When a feed contg. 0.01% II was fed to mice twice per wk for 14 days, the serum glucose and triglyceride levels lowered by 44 and 77%, resp.

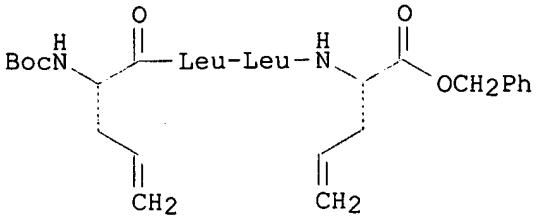
IT **870-46-2, tert-Butoxycarbonylhydrazine**
RL: RCT (Reactant)
(prepn. of benzimidazole derivs. having blood sugar-lowering (hypoglycemic) and phosphodiesterase 5 (PDE5)-inhibitory activities as drugs)

RE.CNT 19
RE
(1) Dr Karl Thomae Gmbh; CA 2060624 A HCAPLUS
(3) Dr Karl Thomae Gmbh; DE 4103492 A HCAPLUS
(4) Dr Karl Thomae Gmbh; DE 4117121 A HCAPLUS
(5) Dr Karl Thomae Gmbh; DE 4224133 A HCAPLUS
(6) Dr Karl Thomae Gmbh; EP 502314 A HCAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

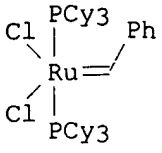
L119 ANSWER 10 OF 52 HCAPLUS COPYRIGHT 2001 ACS
AN 1998:618389 HCAPLUS
DN 129:245491
TI Synthesis of conformationally restricted amino acids, peptides, and peptidomimetics by catalytic ring closing metathesis
IN Grubbs, Robert H.; Miller, Scott J.; Blackwell, Helen E.
PA California Institute of Technology, USA
SO U.S., 21 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5811515	A	19980922	US 1996-654712	19960529 <--

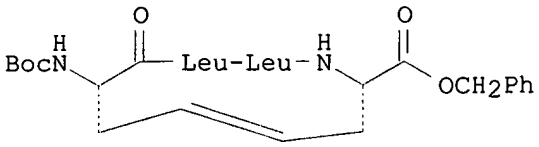
OS CASREACT 129:245491; MARPAT 129:245491
GI



I



II



III

AB A method for synthesizing conformationally restricted amino acids, peptides, and peptidomimetics by ring closing metathesis (RCM). The method includes the steps of synthesizing a peptide precursor contg. first and second unsatd. C-C bonds and contacting the peptide precursor with a RCM catalyst to yield a conformationally restricted peptide. Suitable peptide precursors may contain two or more unsatd. C-C bonds. These bonds may be olefinic bonds and may be contained in first and second alkenyl groups which may be allyl groups. The RCM catalyst may be a ruthenium or osmium carbene complex catalyst and more specifically, a ruthenium or osmium carbene complex catalyst that includes a ruthenium or osmium metal center that is in a +2 oxidn. state, has an electron count of 16, and is pentacoordinated. The method may be carried out using **solid-phase** peptide synthesis techniques. In this embodiment, the precursor, which is anchored to a **solid support**, is contacted with a RCM catalyst and the product is then cleaved from the **solid support** to yield a conformationally restricted peptide. Thus, exposure of allylglycine tetrapeptide I to ruthenium catalyst II (Cy = cyclohexyl) resulted in very efficient macrocyclization to afford cyclic tetrapeptide III in 60% yield.

IT **90600-20-7**
RL: RCT (Reactant)
(prepn. of conformationally restricted amino acids, peptides, and peptidomimetics by ruthenium-catalyzed ring closing metathesis)

L119 ANSWER 11 OF 52 HCAPLUS COPYRIGHT 2001 ACS
AN 1998:352865 HCAPLUS
DN 129:54603
TI Preparation of antiviral peptide derivatives
IN Attwood, Michael Richard; Hurst, David Nigel; Jones, Philip Stephen; Kay, Paul Brittain; Raynham, Tony Michael; Wilson, Francis Xavier
PA F. Hoffmann-La Roche A.-G., Switz.
SO PCT Int. Appl., 132 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9822496	A2	19980528	WO 1997-EP6189	19971107 <--

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

	AU 9855510	A1	19980610	AU 1998-55510	19971107 <--
	EP 941233	A2	19990915	EP 1997-951869	19971107 <--
	R: DE, ES, FR, GB, IT				
	JP 2000508344	T2	20000704	JP 1998-523153	19971107 <--
	US 5866684	A	19990202	US 1997-971036	19971114 <--
	US 6018020	A	20000125	US 1998-96570	19980612 <--
PRAI	GB 1996-23908	19961118 <--			
	WO 1997-EP6189	19971107 <--			
	US 1997-971036	19971114 <--			

OS MARPAT 129:54603

AB Peptides R9NHCHR8CONHCHR7CONR6CHR5CONHCHR4CONR3CHR2CONHCHRR1 [R = CHO or B(OH)2; R1 = optionally substituted alkyl, alkenyl, alkynyl; R2 = optionally substituted alkyl; R3 = H, alkyl; or R2 and R3 together represent di- or trimethylene optionally substituted by hydroxy; R4 = optionally substituted alkyl, alkenyl, aryl, cycloalkyl; R5 = optionally substituted alkyl, cycloalkyl; R6 = H, alkyl; R7 = optionally substituted alkyl, cycloalkyl; R8 = optionally substituted alkyl; R9 = alkylcarbonyl, carboxyalkylcarbonyl, arylcarbonyl, alkylsulfonyl, arylsulfonyl, alkoxycarbonyl, arylalkoxycarbonyl] or their salts were prepd. for use as antiviral agents. Thus, 2(RS)-[[N-[N-[N-[N-[N-(3-carboxypropionyl)-L-.alpha.-aspartyl]-L-.alpha.-glutamyl]-2-methyl-L-phenylalanyl]-3-methyl-L-valyl]-L-leucyl]amino]-4-pentenaldehyde, prepd. via intermediate N-[N-[N-[N-[N-[N-(3-tert-butoxycarbonyl)propionyl]-O-tert-butyl-L-.alpha.-aspartyl]-O-tert-butyl-L-.alpha.-glutamyl]-2-methyl-L-phenylalanyl]-3-methyl-L-valyl]-L-leucine, was assayed for inhibition of ACV protease (IC50 = 0.09 .mu.Mol/l).

IT **90600-20-7P 208521-14-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of antiviral peptide derivs.)

L119 ANSWER 12 OF 52 HCAPLUS COPYRIGHT 2001 ACS
AN 1998:283131 HCAPLUS
DN 129:4567
TI A second generation **solid phase** approach to Freidinger lactams: application of Fukuyama's amine synthesis and cyclative release via ring closing metathesis
AU Piscopio, Anthony D.; Miller, John F.; Koch, Kevin
CS Dep. Chem., Amgen Inc., Boulder, CO, 80301, USA
SO Tetrahedron Lett. (**1998**), 39(18), 2667-2670
CODEN: TELEAY; ISSN: 0040-4039
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 129:4567
AB A high-speed **solid phase** synthesis of Freidinger lactams was accomplished using a novel variant of Fukuyama's amine synthesis and ring closing metathesis-promoted cyclative cleavage as key steps.
IT **90600-20-7**
RL: RCT (Reactant)
(**solid phase** synthesis of Freidinger lactams)

L119 ANSWER 13 OF 52 HCAPLUS COPYRIGHT 2001 ACS
AN 1998:268355 HCAPLUS
DN 128:308497
TI Preparation of thrombin inhibitors
IN Coburn, Craig; Kolatac, Christine; Rush, Diane M.; Vacca, Joseph P.
PA Merck & Co., Inc., USA; Coburn, Craig; Kolatac, Christine; Rush, Diane M.; Vacca, Joseph P.
SO PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI WO 9817274 A1 19980430 WO 1997-US18682 19971020 <--
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
AU 9749050 A1 19980515 AU 1997-49050 19971020 <--
AU 715305 B2 20000120
EP 934064 A1 19990811 EP 1997-911748 19971020 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI
PRAI US 1996-29053 19961024 <--
GB 1996-24319 19961122 <--
WO 1997-US18682 19971020 <--
OS MARPAT 128:308497
GI

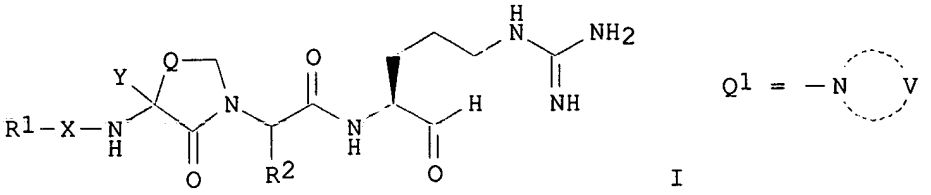
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; m = 0-1; X = O, H2; R1-R3 = H, C1-6 alkyl, C2-6 alkenyl, etc.; R1R2, along with the nitrogen atom to which R1 is attached and the carbon atom to which R2 is attached, form a 5-6 membered satd. ring; B = (un)substituted Ph, pyridyl] which inhibit human thrombin, were prepd. and formulated. Thus, reaction of the carboxylic acid II (prepn. described) with 2-amino-5-aminomethyl-6-methylpyridine in the presence of EDCl, HOBT and DIPEA in **DMF** afforded the title compd. III. Compds. I are effective at 1-20 mg/kg/day.
IT **90600-20-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of azaheterocyclic compds. as thrombin inhibitors)

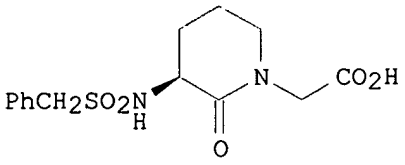
L119 ANSWER 14 OF 52 HCAPLUS COPYRIGHT 2001 ACS
AN 1998:15729 HCAPLUS
DN 128:102391
TI Preparation of heterocyclic peptide aldehydes as enzyme inhibitors and antithrombotic agents
IN **Semple, Joseph Edward**; Ardecky, Robert John; Nutt, Ruth Foelsche; Ripka, William Charles; Rowley, David C.; Lim-Wilby, Marguerita S. L.; Brunck, Terence Kevin
PA **Corvas** International, Inc., USA
SO U.S., 56 pp. Cont.-in-part of U.S. Ser. No. 261,378, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 4

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5703208	A	19971230	US 1995-484720	19950607 <--
US 6034215	A	20000307	US 1997-950270	19971014 <--

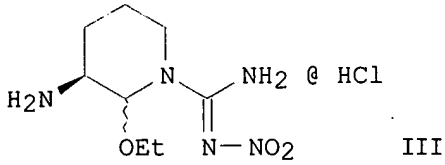
PRAI US 1994-261378 19940617 <--
US 1994-356831 19941213 <--
US 1995-484720 19950607 <--
OS MARPAT 128:102391
GI



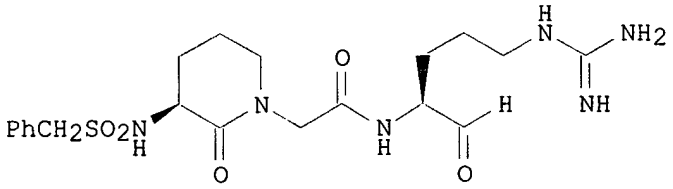
I



II



III



IV

AB The present invention discloses peptide aldehydes I [X = SO2NR'SO2, CO, O2C, NHCO, P(O)R", bond; R' = H, C1-4 alkyl, C6-14 aryl, C6-16 aralkyl; R" = NR', OR', R', SR'; R1 = H, (un)substituted C1-12 alkyl, C3-15 cycloalkyl, heterocycloalkyl contg. 4-10 ring atoms, C3-8 alkenyl, C6-14 aryl, heteroaryl contg. 5-14 ring atoms, C7-15 aralkyl, heteroaralkyl contg. 6-11 atoms C8-15 aralkenyl, heteroaralkenyl contg. 7-12 atoms; C1-12 perfluoroalkyl, C614 perfluoroaryl, C7-15 perfluoroaralkyl, 2-hydroxy-7,7-dimethylbicyclo[2.2.1]heptan-1-ylmethyl, 7,7-dimethyl-2-oxobicyclo[2.2.1]heptan-1-ylmethyl, 5-7-membered ring Q1 contg. 3-6 C atoms, V = CH2, O, S(O), SO2, S; Q = (CH2)n, (CH2)qR4; n = 1-4; q = 1-2, R4 = S, S(O)p, O, NR5; p = 0-2; R5 = H, C1-4 alkyl, aryl; R2 = H, C1-4 alkyl, C2-4 alkenyl; Y = any group R1 except Y .noteq. Q1], which are potent and specific inhibitors of thrombin, their pharmaceutically acceptable salts, pharmaceutically acceptable compns. thereof, and methods of using them as therapeutic agents for disease states in mammals characterized by abnormal thrombosis. Thus, coupling of dipeptide mimic II (prepd. in 4 steps from Boc-Orn-OH, glyoxylic acid, and PhCH2SO2Cl) with argininal cyclol III [prepd. in 4 steps from Boc-Arg(NO2)-OH], followed by hydrogenolysis and acidic deprotection gave desired peptide aldehyde IV as its **trifluoroacetate** salt. IV inhibited thrombin with IC50 = 6.2 nM, and was inactive against activated protein C and recombinant tissue plasminogen.

IT **174960-52-2P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic peptide aldehydes as enzyme inhibitors and antithrombotic agents)

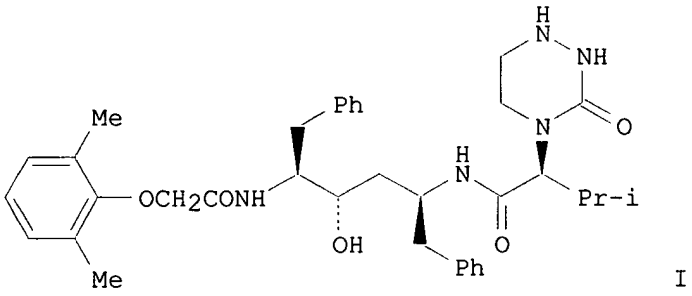
IT **174960-81-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of heterocyclic peptide aldehydes as enzyme inhibitors and antithrombotic agents)

L119 ANSWER 15 OF 52 HCAPLUS COPYRIGHT 2001 ACS
AN 1997:515728 HCAPLUS
DN 127:122001
TI Preparation of peptide analogs as retroviral protease inhibitors

IN Sham, Hing Leung; Norbeck, Daniel W.; Chen, Xiaoqi; Betebenner, David A.; Kempf, Dale J.; Herrin, Thomas R.; Kumar, Gondi N.; Condon, Stephen L.; Cooper, Arthur J.; Dickman, Daniel A.; Hannick, Steven M.; Kolaczkowski, Lawrence; Oliver, Patricia A.; Plata, Daniel J.; Stengel, Peter J.; Stoner, Eric J.; Tien, Jieh-Heh J.; Liu, Jih-Hua; Patel, Ketan M.
PA Abbott Laboratories, USA
SO PCT Int. Appl., 180 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9721685	A1	19970619	WO 1996-US20440	19961206 <--
W: AU, CA, CN, CZ, HU, IL, JP, KR, MX, NZ				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5914332	A	19990622	US 1996-753201	19961121 <--
AU 9713422	A1	19970703	AU 1997-13422	19961206 <--
AU 725369	B2	20001012		
EP 882024	A1	19981209	EP 1996-944941	19961206 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2000502085	T2	20000222	JP 1997-522278	19961206 <--

PRAI US 1995-572226 19951213 <--
US 1996-753201 19961121 <--
WO 1996-US20440 19961206 <--
OS MARPAT 127:122001
GI



I

AB R4 -L1-CONHCHR1CH(OH)CH2CHR2NHCOCHR3R5 [R1, R2 = lower alkyl, cycloalkylalkyl, arylalkyl; R3 = lower alkyl, hydroxyalkyl, cycloalkylalkyl; R4 = aryl, heterocyclyl; R5 = heterocyclyl e.g. Q - Q4; wherein m, n = 1-3; p = 1,2; X = O, S, NH; Y = CH2, O, S, (un)substituted NH; Z = O, S, NH; L1 = O, S, (un)substituted NH, O-alkylenyl, S(O)m-alkylenyl (wherein m = 0, 1,2), N-(un)substituted NH-alkylenyl, alkylenyl, alkenylenyl, etc.] are prepd. Methods and compns. for inhibiting an HIV infection are also disclosed. Thus, (2S)-(4-benzyloxycarbonylaza-1-tetrahydropyrimid-2-onyl)-3-methylbutanoic acid (prepn. given) was condensed with (2S,3S,5S)-2-(2,6-dimethylphenoxyacetyl)amino-3-hydroxy-5-amino-1,6-diphenylhexane using std. coupling procedure [1-ethyl-3-(3-dimethylaminopropyl)carbodiimide/ **DMF**] followed by hydrogenolysis over 10% Pd-C to give the title compd. (I). I in vitro at 0.5 nmol inhibited HIV protease by 94.6%.
IT **530-62-1 870-46-2**, N-**tert-Butoxycarbonylhydrazine**
RL: RCT (Reactant)
(prepn. of peptide analogs as retroviral protease inhibitors for inhibiting HIV infection)

L119 ANSWER 16 OF 52 HCAPLUS COPYRIGHT 2001 ACS
AN 1997:429537 HCAPLUS
DN 127:47235
TI Targeted magnetically labeled molecular marker systems for NMR imaging,

and preparation thereof
IN Tournier, Herve; Pochon, Sibylle; Lamy, Bernard
PA Bracco Research S.A., Switz.
SO PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9716474	A1	19970509	WO 1996-IB1174	19961031 <--
	W: JP				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	EP 800545	A1	19971015	EP 1996-935209	19961031 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 10512617	T2	19981202	JP 1996-517196	19961031 <--
	US 5910300	A	19990608	US 1996-740620	19961031 <--
PRAI	EP 1995-810689	19951101 <--			
	WO 1996-IB1174	19961031 <--			

OS MARPAT 127:47235
AB Administrable factors or compns. to be directed to specific sites in the body of human and animal patients are disclosed which comprise a medically and/or diagnostically effective moiety (I) and, coupled thereto by means of a linker (L), a substance (II) having specific affinity for specific sites in the organism. Linker L has a structure Y(W-Z-R)m (m = 1, 2, 4; YW = amphiphile, i.e. segment comprised of hydrophobic-lipophilic sequence Y and hydrophilic-lipophobic sequence W connected covalently; Z = chem. bond or intermediate connector sequence; R = reactive function for effecting coupling with selected substances II). The conjugates of the invention are useful for MRI imaging. The systems of the invention are characterized in that, although the bond between L and II is covalent, the bond between I and L is noncovalent, preferably a bond by affinity controlled by Van der Waals forces, which results in considerable mol. mobility in aq. carrier media and excellent resistance of the conjugate to opsonization after injection in the circulation. Prepn. of derivatized Pluronic F-108 linkers and of labeled particles contg. them is described.
IT **870-46-2DP**, reaction product with Pluronic F108 deriv.
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction; targeted magnetically labeled mol. marker systems for MRI, and prepn. thereof)
IT **530-62-1D**, reaction product with Pluronic F108 deriv.
870-46-2D, **tert-Butyl carbazate**,
reaction product with Pluronic F108
RL: RCT (Reactant)
(reaction; targeted magnetically labeled mol. marker systems for MRI, and prepn. thereof)

L119 ANSWER 17 OF 52 HCAPLUS COPYRIGHT 2001 ACS
AN 1997:385652 HCAPLUS
DN 127:5020
TI Preparation of quinolines as H+-ATPases inhibitors
IN Oku, Teruo; Kawai, Yoshio; Satoh, Shigeki; Yamazaki, Hitoshi; Kayakiri, Natsuko; Urano, Yasuharu; Yoshihara, Kousei; Yoshida, Noriko
PA Fujisawa Pharmaceutical Co., Ltd., Japan; Oku, Teruo; Kawai, Yoshio; Satoh, Shigeki; Yamazaki, Hitoshi; Kayakiri, Natsuko; Urano, Yasuharu; Yoshihara, Kousei; Yoshida, Noriko
SO PCT Int. Appl., 308 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9714681	A1	19970424	WO 1996-JP2981	19961015 <--
	W: AU, CA, CN, JP, KR, MX, US				

RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
AU 9672288 A1 19970507 AU 1996-72288 19961015 <--
EP 876345 A1 19981111 EP 1996-933647 19961015 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI
JP 11514361 T2 19991207 JP 1996-515680 19961015 <--
US 6008230 A 19991228 US 1998-51093 19980414 <--
PRAI GB 1995-21102 19951016 <--
AU 1996-1811 19960821 <--
WO 1996-JP2981 19961015 <--
OS MARPAT 127:5020
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R1 = (un)substituted heterocyclic or aryl group; A = CONH, NHCO; n = 0-1; Y = II, III (wherein R2- R4 = H, halo, lower alkyl, etc.; X1 = O, S, NH); Z together with N = IV, V, VI, etc. (wherein R5 = H, lower alkyl; R6 = H, halo, lower alkyl, etc.; R7 = H, lower alkyl, a heterocyclic group, etc.)] and their pharmaceutically acceptable salts, useful for the prevention and/or the treatment of bone diseases caused by abnormal bone metab. in human beings or animals, were prepd. Thus, treatment of 8-(2,6-dichlorobenzoylamino)-3-cyano-4-methylquinoline with NBS in the presence of 2,2'-azobis(isobutyronitrile) in Cl(CH2)2Cl and CCl4 followed by reaction of the resulting 4-bromomethyl-8-(2,6-dichlorobenzoylamino)-3-cyanoquinoline with imidazole in Cl(CH2)2Cl, and treatment of the free base with 10% HCl/MeOH afforded VII.HCl which showed 100% inhibition of PTH-induced bone resorption.
IT **530-62-1**, 1,1'-**Carbonyldiimidazole 870-46-2**, **tert-Butoxycarbonylhydrazine**
RL: RCT (Reactant)
(prepn. of quinolines as H+-ATPases)

L119 ANSWER 18 OF 52 HCAPLUS COPYRIGHT 2001 ACS
AN 1997:208119 HCAPLUS
DN 126:293367
TI Substituted cyclic carbonyls and derivatives thereof useful as retroviral protease inhibitors
IN Lam, Patrick Y.; Jadhav, Prabhakar K.; Eyermann, Charles J.; Hodge, Carl N.; De Lucca, George V.; Rodgers, James D.
PA The Du Pont Merck Pharmaceutical Company, USA
SO U.S., 198 pp. Cont.-in-part of U.S. Ser. No. 47,330, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 5

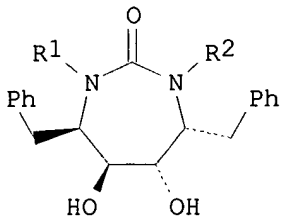
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5610294	A	19970311	US 1994-197630	19940216 <--
EP 765873	A1	19970402	EP 1996-118182	19921013 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, SE				
LV 10096	B	19950420	LV 1993-341	19930514 <--
CA 2156594	AA	19940901	CA 1994-2156594	19940223 <--
WO 9419329	A1	19940901	WO 1994-US1609	19940223 <--
W: AU, CA, CZ, FI, HU, JP, KR, NO, NZ, PL, SK				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9465493	A1	19940914	AU 1994-65493	19940223 <--
EP 686151	A1	19951213	EP 1994-913262	19940223 <--
EP 686151	B1	20000705		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 08509700	T2	19961015	JP 1994-519072	19940223 <--
EP 858999	A1	19980819	EP 1998-106311	19940223 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
AT 194333	E	20000715	AT 1994-913262	19940223 <--

	ES 2149267	T3	20001101	ES 1994-913262	19940223 <--
	ZA 9401325	A	19950825	ZA 1994-1325	19940225 <--
	US 5506355	A	19960409	US 1994-269281	19940630 <--
	US 5559252	A	19960924	US 1994-268609	19940630 <--
	AU 9532895	A1	19960523	AU 1995-32895	19950926 <--
	AU 703962	B2	19990401		
	US 5880295	A	19990309	US 1996-666032	19960619 <--
	US 5811422	A	19980922	US 1996-770546	19961122 <--

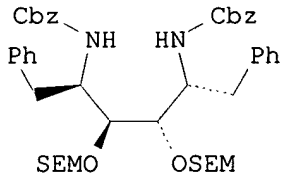
PRAI US 1991-776491 19911011 <--
US 1992-883944 19920515 <--
US 1992-953272 19920930 <--
US 1993-23439 19930226 <--
US 1993-47330 19930415 <--
EP 1992-922262 19921013 <--
US 1994-197630 19940216 <--
EP 1994-913262 19940223 <--
WO 1994-US1609 19940223 <--
US 1994-268609 19940630 <--

OS MARPAT 126:293367

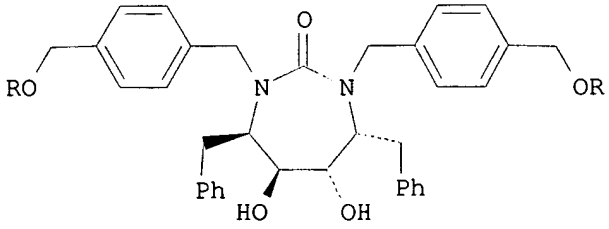
GI



I



II



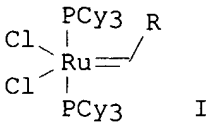
III

AB The invention relates to substituted cyclic carbonyl compds. and derivs., and particularly to cyclic urea derivs. such as I [R1, R2 = H, alkyl, allyl, cyclopropylmethyl, (un)substituted benzyl, etc.]. The compds. are retroviral protease inhibitors, useful in pharmaceutical compns. and methods for treating viral infection. They include prodrugs which have improved aq. soly. and oral bioavailability. For instance, the protected diamine-diol II [Cbz = CO2CH2Ph, SEM = CH2OCH2CH2SiMe3] was N-deprotected by hydrogenolysis (99%), then cyclized with **carbonyldiimidazole** in CH2Cl2 (93%) to give a cyclic urea intermediate. N,N'-Dialkylation of this using NaH in **DMF** and alkyl bromides, followed by acid hydrolysis using HCl in MeOH-dioxane gave a variety of I, e.g., compd. III [R = H] (IV). Protection of IV as the acetonide (90%) and esterification with excess N,N-dimethylglycine using EDCI (73%) gave the prodrug III.2HCl [R = COCH2NMe2] (V). In the HIV-1 protease transgenic mouse model, as measured by delay of cataract onset, IV gave a delay of 5 days past control at 100 mg/kg i.p. bid, and 45 days at 400 mg/kg i.p. bid. However, solid IV had only low oral bioavailability, and still only 5% at 40 mg/kg when administered in glycol excipient. In contrast, the prodrug V gave 12% mean bioavailability of IV at only 8 mg/kg orally without excipient.

IT **530-62-1 870-46-2, tert-Butyl**

carbazate
RL: RCT (Reactant)
(starting material; prepn. of cyclic carbonyl compds. and derivs. as retroviral protease inhibitors)

L119 ANSWER 19 OF 52 HCAPLUS COPYRIGHT 2001 ACS
AN 1996:569664 HCAPLUS
DN 125:276534
TI Application of Ring-Closing Metathesis to the Synthesis of Rigidified Amino Acids and Peptides
AU Miller, Scott J.; Blackwell, Helen E.; Grubbs, Robert H.
CS Division of Chemistry and Chemical Engineering, California Institute of Technology, Pasadena, CA, 91125, USA
SO J. Am. Chem. Soc. (**1996**), 118(40), 9606-9614
CODEN: JACSAT; ISSN: 0002-7863
DT Journal
LA English
OS CASREACT 125:276534
GI



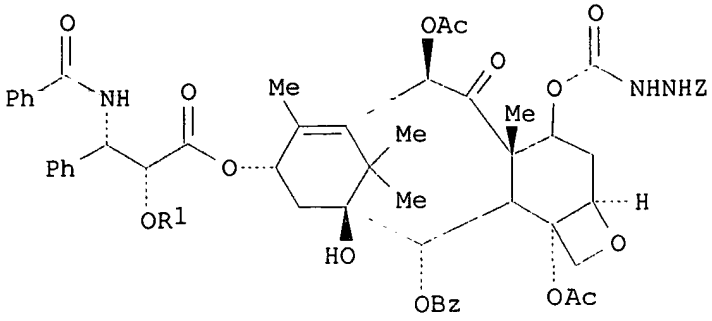
I

AB Ruthenium complexes I (R = Ph, CH:CPh2) have been applied to the ring-closing metathesis (RCM) reactions of a no. of dienic substrates. The substrate scope includes rings of 6 to 20 members. In addressing macrocyclic peptides, a class of tetrapeptide disulfides inspired the synthesis of the carbon-carbon bond analogs. Replacement of cysteine residues with allylglycines resulted in the acyclic precursors which were subjected to RCM to afford the corresponding macrocycles. In addn., several macrocycles were prepd. which were not based upon disulfide-bridge-contg. species found in nature. The method was found to function on dienic peptides which were either dissolved in org. solvents or bound to **solid supports**.
IT **90600-20-7**, N-tert-Butoxycarbonyl-L-allylglycine
RL: RCT (Reactant)
(application of ring-closing metathesis to the prepn. of rigidified amino acids and peptides)

L119 ANSWER 20 OF 52 HCAPLUS COPYRIGHT 2001 ACS
AN 1996:537798 HCAPLUS
DN 125:196059
TI Taxol-7-carbazates with improved water-solubility and/or enhanced therapeutic activity
IN Greenwald, Richard B.; Pendri, Annapurna
PA Enzon, Inc., USA
SO U.S., 7 pp. Cont.-in-part of U.S. Ser. No. 140, 346, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 12

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5547981	A	19960820	US 1994-198194	19940217 <--
PRAI US 1993-28743	19930309 <--			
US 1993-140346	19931020 <--			

OS CASREACT 125:196059; MARPAT 125:196059
GI



I

AB Disclosed are 7-substituted taxoid derivs., in particular taxol-7-carbazates which have improved water-soly. and/or enhanced therapeutic activity and methods of making the same. The preferred taxoid derivs. have the formula I, wherein Z is H or (C:Y)nXR, Y = O or S; X = CH2 or O; n = zero or a pos. integer, preferably one; with the proviso that when n = 0, X = CH2 ; R = C1-C4-alkyl, haloalkyl, carboxyalkyl, thioalkyl, sulfonylalkyl, Ph, hydroxyphenyl, aminophenyl, carboxyphenyl, a polyalkyleneoxide homopolymer or water sol. polyalkyleneoxide contg. copolymer, having a mol. wt. of from about 1,000 to about 20,000; R1 = H or (C:O)CH2WR2; W = O, N--L, S or SO2 ; L = H, C1-C4-alkyl or Ph; and R2 = C1-C4-alkyl, Ph or a polyalkyleneoxide homopolymer or water sol. polyalkyleneoxide contg. copolymer, having a mol. wt. of from about 1,000 to about 20,000. I are prepd. by reacting taxol first with **carbonyl diimidazole**, bis-succinimidyl carbonate, phosgene or p-nitrophenyl chloroformate, followed by acetic hydrazide, **t-Bu carbazate**, polyethylene glycol hydrazide or carbazate. I are useful in the treatment of neoplastic disease, tumor burden, metastasis of neoplasms and recurrences of tumor and neoplastic growths.

IT **530-62-1 870-46-2, tert-Butyl carbazate**
RL: RCT (Reactant)
(taxol 7-carbazates with improved water-soly. and/or enhanced therapeutic activity)

L119 ANSWER 21 OF 52 HCAPLUS COPYRIGHT 2001 ACS
AN 1996:493909 HCAPLUS
DN 125:142130
TI Preparation of carbazic acid
IN Maekawa, Tsukasa; Hayashi, Hiroyasu; Oka, Akinori; Namura, Satoshi
PA Otsuka Kagaku Kk, Japan
SO Jpn. Kokai Tokkyo Koho, 3 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 08134038	A2	19960528	JP 1994-300251	19941108 <--
	JP 2993856	B2	19991227		

OS CASREACT 125:142130
AB Carbazic acid (I) is prepd. by treatment of (aq. soln. of) NH2NH2 with liquefied CO2 under high pressure. NH2NH2.H2O was autoclaved with liquefied CO2 at 0-5.degree. and 35 kg/cm2 for 1 h to give 98% I.
IT **471-31-8P**, Carbazic acid
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of carbazic acid from hydrazine and liquefied CO2 under high pressure)

IT **302-01-2**, Hydrazine, reactions
RL: RCT (Reactant)
(prepn. of carbazic acid from hydrazine and liquefied CO2 under high pressure)

L119 ANSWER 22 OF 52 HCAPLUS COPYRIGHT 2001 ACS
AN 1996:222238 HCAPLUS
DN 124:290275
TI Preparation of peptide aldehydes containing 3-amino-2-oxo-1-piperidineacetic derivative and an arginine mimic as specific inhibitors of thrombin
IN **Semple, Joseph E.**; Levy, Odile E.; Nutt, Ruth F.; Ripka, William C.
PA **Corvas** International, Inc., USA
SO PCT Int. Appl., 114 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 4

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9535313	A1	19951228	WO 1995-US7832	19950619 <--
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5714499	A	19980203	US 1994-261498	19940617 <--
US 5932733	A	19990803	US 1995-482117	19950607 <--
AU 9529054	A1	19960115	AU 1995-29054	19950619 <--
EP 765339	A1	19970402	EP 1995-924623	19950619 <--
EP 765339	B1	19990127		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 10503177	T2	19980324	JP 1995-502570	19950619 <--

PRAI US 1994-261498 19940617 <--
US 1994-356831 19941213 <--
US 1995-482117 19950607 <--
WO 1995-US7832 19950619 <--
OS MARPAT 124:290275
GI For diagram(s), see printed CA Issue.
AB The title peptide aldehydes [I; X = SO2, NR'SO2, CO, O2C, NHCO, P(O)R'', direct link; wherein R' = H, C1-4 alkyl, C6-14 aryl, C6-16 aralkyl; R'' = NR', OR', SR', provided that R'' .noteq. NH, OH, H, or SH; R1 = C1-12 alkyl, (un)substituted C5-8 cycloalkyl-C1-3 alkyl, (un)substituted C3-15 cycloalkyl, (un)substituted C4-10 heterocycloalkyl, C4-10 heterocyclyl, or C5-14 heteroaryl contg. heteroatoms selected from O, N, S, SO, and SO2, (un)substituted C3-6 alkenyl, (un)substituted C6-14 aryl, (un)substituted aralkyl, Q1, etc., provided that Y .noteq. Q1; wherein Q1 = 5- to 7-membered heterocycle of 3-6 ring C atoms; V = CH2, O, S, SO, SO2; Q = (CH2)n, (CH2)qR4; wherein n = 1-4; q = 1,2; R4 = S, SO, SO2, O, (un)substituted NH; R2 = H, C1-4 alkyl, C2-4 alkenyl; Y = group selected from R1, provided that Y .noteq. Q1; R3 = Q2, Q3; wherein W = N, CH] and their pharmaceutically acceptable salts, which are potent and specific inhibitors of thrombin and are useful as therapeutic agents (e.g. antithrombotic agents) for disease states in mammals characterized by abnormal thrombosis, are prepd. Thus, (S)-3-(benzylsulfonylamino)hexahydro-2-oxo-1-piperidineacetic acid (prepn. given) was condensed with 3-(3-piperidyl)-L-alaninol deriv. (II) using 1-hydroxybenzotriazole monohydrate, 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride, 4-dimethylaminopyridine, and Et3N in MeCN to give the dipeptide intermediate (III; R = CH2OH, R5 = CO2CH2Ph). The latter compd. was hydrogenated in the presence of 10% Pd-C in AcOH/MeOH at 45 psi for 3 h to give III.AcOH (R = CH2OH, R5 = H), which was oxidized by DMSO, 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride, and

dichloroacetic acid at 0.degree. for 5 min and at ambient temp. for 85 min to give, after purifn. by reverse phase HPLC, two diastereomers of the title dipeptide III (R = CHO, R5 = H). The slower-moving diastereomer in HPLC in vitro showed IC50 of 0.8 nM against human .alpha.-thrombin and did not inhibit serine proteases such as recombinant tissue plasminogen activator, plasmin, activated protein C, chymotrypsin, and trypsin at 2,5000 nM.

IT **530-62-1 870-46-2, tert-Butyl carbazate**
RL: RCT (Reactant)
(prepn. of peptide aldehydes contg. arginal and aminooxopiperidineacetic derivs. or analogs as thrombin inhibitors and antithrombotics)

IT **174960-81-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of peptide aldehydes contg. arginal and aminooxopiperidineacetic derivs. or analogs as thrombin inhibitors and antithrombotics)

L119 ANSWER 23 OF 52 HCAPLUS COPYRIGHT 2001 ACS
AN 1996:202756 HCAPLUS
DN 124:261754
TI Preparation of peptide aldehydes containing arginal and 3-amino-2-oxo-1-piperidineacetic derivatives as thrombin inhibitors
IN **Semple, Joseph Edward**; Ardecky, Robert J.; Nutt, Ruth F.; Ripka, William Charles; Rowley, David C.; Lim-Wilby, Marguerita S. L.; Brunck, Terrence K.
PA **Corvas** International, Inc., USA
SO PCT Int. Appl., 146 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 4

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9535311	A1	19951228	WO 1995-US7661	19950619 <--
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2192210	AA	19951228	CA 1995-2192210	19950619 <--
AU 9528630	A1	19960115	AU 1995-28630	19950619 <--
AU 700808	B2	19990114		
CN 1151166	A	19970604	CN 1995-193661	19950619 <--
EP 802923	A1	19971029	EP 1995-923922	19950619 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
BR 9508048	A	19971118	BR 1995-8048	19950619 <--
JP 10503176	T2	19980324	JP 1995-502499	19950619 <--
NO 9605353	A	19970217	NO 1996-5353	19961213 <--

PRAI	US 1994-261378	19940617	<--
	US 1994-356831	19941213	<--
	US 1995-487007	19950607	<--
	WO 1995-US7661	19950619	<--

OS MARPAT 124:261754
GI For diagram(s), see printed CA Issue.
AB The title peptide aldehyde [I; n = 1,2,3; X = SO2, NR'SO2, CO, O2C, NHCO, P(O)R'', direct link; wherein R' = H, C1-4 alkyl, C6-14 aryl, C6-16 aralkyl; R'' = NR', OR', SR', provided that R'' .noteq. NH, OH, H, or SH; R1, Y = C1-12 alkyl, (un)substituted C5-8 cycloalkyl-C1-3 alkyl, (un)substituted C3-15 cycloalkyl, (un)substituted C4-10 heterocycloalkyl, C4-10 heterocyclyl, or C5-14 heteroaryl contg. heteroatoms selected from O, N, S, SO, and SO2, (un)substituted C3-6 alkenyl, (un)substituted C6-14 aryl, (un)substituted aralkyl, Q1, etc., provided that Y .noteq. Q1;

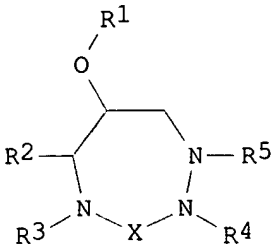
wherein Q1 = 5- to 7-membered heterocycle of 3-6 ring C atoms; V = CH2, O, S, SO, SO2; Q = (CH2)n, (CH2)qR4; wherein n = 1-4; q = 1,2; R4 = S, SO, SO2, O, (un)substituted NH; R2 = H, C1-4 alkyl, C2-4 alkenyl; Y = group selected from R1, provided that Y .noteq. Q1] and their pharmaceutically acceptable salts, which are potent and specific inhibitors of thrombin and are useful as therapeutic agents (e.g. antithrombotic agents) for disease states in mammals characterized by abnormal thrombosis, are prepd. Thus, (S)-3-(benzylsulfonylamino)hexahydro-2-oxo-1-azepineacetic acid (prepn. given) was condensed with NG-nitro-L-arginal deriv. (H-Q2; R5 = NO2) using 1-hydroxybenzotriazole monohydrate, 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride, and **diisopropylethylamine** in MeCN to give the tripeptide intermediate (II; R = Q2; R5 = NO2). The latter compd. was hydrogenated in the presence of 10% Pd-C in EtOH/H2O/AcOH at 50 psi for 19 h to give II (R = Q2, R5 = H), which was stirred in 3 N HCl at ambient temp. for 2.5 h to give, after purifn. by reverse phase HPLC, the title tripeptide II (R = Q3). This peptide aldehyde in vitro showed IC50 of 0.93 and 72 nM against human .alpha.-thrombin and trypsin, resp., and did not inhibit serine proteases such as recombinant tissue plasminogen activator, plasmin, and activated protein C at 2,500 nM.

IT **174960-81-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of peptide aldehydes contg. arginal and aminooxopiperidineacetic derivs. or analogs as thrombin inhibitors and antithrombotics)

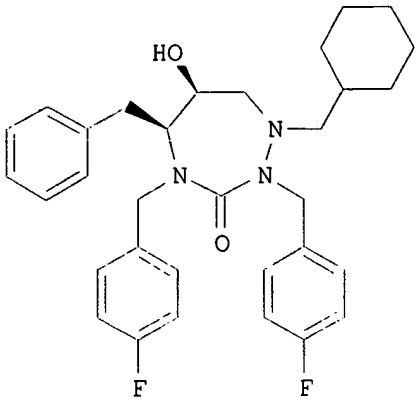
L119 ANSWER 24 OF 52 HCAPLUS COPYRIGHT 2001 ACS
AN 1995:784828 HCAPLUS
DN 123:198840
TI Cyclic hydrazine compounds with anti-retroviral activity
IN Bold, Guido; Bhagwat, Shripad S.; Faessler, Alexander; Lang, Marc
PA Ciba-Geigy A.-G., Switz.
SO PCT Int. Appl., 194 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 9502582	A1	19950126	WO 1994-EP2235	19940707	<--
	W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, US, UZ, VN					
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
	AU 9474916	A1	19950213	AU 1994-74916	19940707	<--
	EP 708760	A1	19960501	EP 1994-924725	19940707	<--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE					
	JP 09500120	T2	19970107	JP 1994-504329	19940707	<--
	US 5670497	A	19970923	US 1996-581508	19960111	<--
PRAI	CH 1993-2114		19930714	<--		
	CH 1993-3333		19931105	<--		
	WO 1994-EP2235		19940707	<--		

OS CASREACT 123:198840; MARPAT 123:198840
GI



I



II

AB The invention relates to compds. I [R1 = H, acyl, R2, R3, R4, R5 = (un)substituted alkyl or alkenyl; X = C(O), C(S), S(O), S(O)2, P(O), P(O)(OR6), C(O)C(O); R6 = (un)substituted alkyl; R7 = H, (un)substituted alkyl, OH, amino, alkoxy, cyano, aryloxy] and salts. I exhibit anti-retroviral activity in the range of 10-5 to 10-9 M, e.g., against HIV-1 protease in vitro, and may be useful for the treatment of AIDS. For example, Boc-Q-Boc [Boc = tert-BuOCO; Q = Phe/Cha-derived, hydrazine-contg. subunit (S,S)-NHCH(CH2Ph)CH(OH)CH2N(CH2R)NH, where R = cyclohexyl] underwent a sequence of O-silylation, removal of the Boc groups with formic acid, cyclization with either **carbonyldiimidazole** or phosgene to give the triazepanone ring, double N-alkylation with NaH and p-FC6H4CH2Br, and desilylation, to give title compd. II. Eight single- to multi-step synthetic examples of prepn. of I, 18 precursor syntheses, and 4 formulations are given.

IT **530-62-1 870-46-2, tert-Butyl carbazate**
RL: RCT (Reactant)
(prepn. of anti-retroviral cyclic hydrazines (triazepanones))

L119 ANSWER 25 OF 52 HCAPLUS COPYRIGHT 2001 ACS
AN 1995:319762 HCAPLUS
DN 122:89553
TI PEG hydrazone and PEG oxime linkage forming reagents and protein derivatives.
IN Wright, David E.
PA Ortho Pharmaceutical Corp., USA
SO Eur. Pat. Appl., 47 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 605963	A2	19940713	EP 1993-309825	19931207 <--
	EP 605963	A3	19951108		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	CA 2110543	AA	19940610	CA 1993-2110543	19931202 <--
	FI 9305485	A	19940610	FI 1993-5485	19931208 <--
	NO 9304477	A	19940610	NO 1993-4477	19931208 <--
	ZA 9309214	A	19950608	ZA 1993-9214	19931208 <--
	AU 9352383	A1	19940623	AU 1993-52383	19931209 <--
	JP 07196925	A2	19950801	JP 1993-340709	19931209 <--
PRAI	US 1992-987739	19921209 <--			
	US 1993-45052	19930407 <--			
	US 1993-157343	19931123 <--			

AB Compds. for modifying polypeptides with PEG or other water-sol. org. polymers are described. The water-sol. polymer reagents include hydrazine, hydrazine carboxylate, semicarbazole, thiosemicarbazide,

carbonic acid dihydrazide, carbazide, thiocarbazide, and arylhydrazide derivs. as well as oxylamine derivs. of water-sol. org. polymers, such as polyethylene glycol, polypropylene glycol, polyoxyethylated polyol, heparin, heparin fragments, dextran polysaccharides, polyamino acids, and polyvinyl alc. Kits for modifying polypeptides with the above water-sol. polymer reagents are also provided. Thus, erythropoietin was modified by oxidn. and treatment with monomethoxypolyoxyethylene semicarbazide and the product was sepd. by chromatog. The antigenicity and the effect on hematocrit levels of the above derivs. were demonstrated.

IT **530-62-1 870-46-2, tert-Butyl carbazate**
RL: RCT (Reactant)
(prepn. and biol. activity of polyoxyethylene-coupled protein derivs.)

L119 ANSWER 26 OF 52 HCAPLUS COPYRIGHT 2001 ACS
AN 1995:196581 HCAPLUS
DN 122:38832
TI Pharmaceutical liposomes comprising PEG for administration of polypeptides
IN Zalipsky, Samuel; Martin, Francis
PA Liposome Technology, Inc., USA
SO PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9421281	A1	19940929	WO 1994-US3102	19940322 <--
W: AU, CA, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9463683	A1	19941011	AU 1994-63683	19940322 <--
PRAI US 1993-35640	19930323 <--			
WO 1994-US3102	19940322 <--			

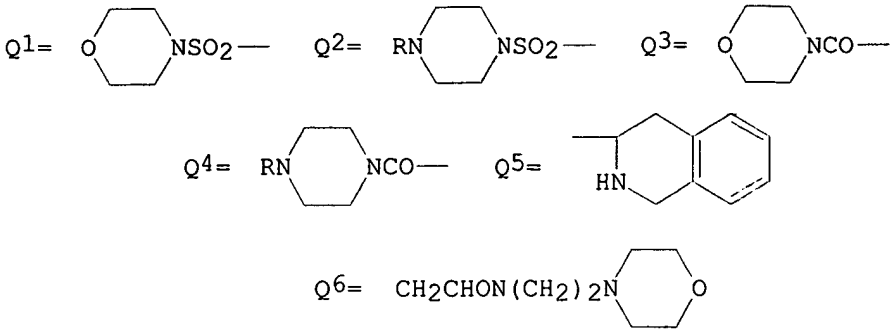
AB Pharmaceutical liposomes comprising PEG are prepd. for administration of polypeptides. Liposomes contg. biotin-PEG were incubated in the presence of avidin. Avidin-coated liposomes were incubated with biotinylated IgG to obtain liposome-bound antibody.

IT **530-62-1, Carbonyl diimidazole**
870-46-2, tert-Butyl carbazate
RL: RCT (Reactant)
(pharmaceutical liposomes comprising PEG for administration of polypeptides)

L119 ANSWER 27 OF 52 HCAPLUS COPYRIGHT 2001 ACS
AN 1994:324207 HCAPLUS
DN 120:324207
TI Preparation of peptide prodrug **resin** inhibitors
IN Cheng, Xue Min; Repine, Joseph Thomas; Taylor, Michael Douglas; Wright, Jonathan Leonard
PA Warner-Lambert Co., USA
SO PCT Int. Appl., 145 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9306127	A1	19930401	WO 1992-US7463	19920901 <--
W: CA, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE				
PRAI US 1991-761093	19910917 <--			
US 1992-931101	19920825 <--			

OS MARPAT 120:324207
GI



AB AEGJ [A = R2NSO2, Ac, H2O3P, F3CO, Q1-Q4, PhCH2O2C, Me2CHCH2CO, etc.; R = H, alkyl; E = NHCH(CH2R5)CO; R5 = (substituted) Ph, naphthyl, 5-thiazolyl, Q5, etc.; G = NHCH(CH2R5)CO, etc.; G = NHCH(CH2R5)CO, NHCHR10CO; R10 = H, alkyl, CO2Me, cyclopropylmethyl, allyl, propargyl, cyanomethyl, hydroxymethyl, etc.; EG = NHCH(CH2R5)CH(XH)CHR10CH2CO; X = O, S, NH; J = NHCH[(CH2)pR11]CH(XH)R12; R11 = H, alkyl, cyclohexyl, Ph; R12 = CH(XH)CH2CHMe2, CH2OEt, Q6, etc.; p = 0, 1], were prepd. Thus, H-Asp-OCH2CMe2CO-Phe-Atm-CAD [Atm = 2-amino-3-(2-amino-5-thiazolyl)propanoic acid residue; CAD = 2S-amino-1-cyclohexyl-6-methyl-3R,4S-heptanediol residue], prepd. by soln. phase methods, showed t1/2 in rat intestinal perfusate of 197 min., and t1/2 in brush border membrane prepns. of 11.7 min., for a stability ratio of 36.32.

IT **90600-20-7**, BOC-Alg-OH
RL: RCT (Reactant)
(reaction of, in prepn. of renin inhibitor prodrug)

L119 ANSWER 28 OF 52 HCAPLUS COPYRIGHT 2001 ACS
AN 1994:314181 HCAPLUS
DN 120:314181
TI New Group 2 metal hydrazinecarboxylates: a novel coordination mode for hydrazinecarboxylate in a polymeric, ten-coordinate barium complex
AU Edwards, Dennis A.; Keily, John F.; Mahon, Mary F.; Molloy, Kieran C.; Thompsett, David
CS Sch. Chem., Univ. Bath, Bath, BA2 7AY, UK
SO J. Chem. Soc., Dalton Trans. (**1993**), (23), 3471-4
CODEN: JCDTBI; ISSN: 0300-9246
DT Journal
LA English
AB The new hydrazinecarboxylates [M(O2CNHNH2)2] (M = Mg or Sr) and [Ba(O2CNHNH2)2(N2H4)] were prepd. The Ba compd. is polymeric, each Ba center being 10-coordinate and the hydrazinecarboxylate anions displaying a novel coordination mode. Each anion is O,O'-chelating to 1 Ba, O-bridging to a 2nd and bonded to a 3rd Ba via the terminal N of the hydrazino moiety. The 1st 2 Ba cations are also connected by a N,N'-bridging hydrazine ligand and the lattice arrangement is cemented by H bonds.

IT **471-31-8**, Hydrazinecarboxylic acid
RL: RCT (Reactant)
(reaction of, with barium or strontium chlorides and hydrazine)

IT **302-01-2**, Hydrazine, reactions
RL: RCT (Reactant)
(reaction of, with carbon dioxide and magnesium or barium or with barium chloride and hydrazinecarboxylic acid)

L119 ANSWER 29 OF 52 HCAPLUS COPYRIGHT 2001 ACS
AN 1994:221655 HCAPLUS
DN 120:221655
TI Preparation of cobalt-substituted iron oxide powder from organometallic precursors. (II)

AU Kim, Jeong Soo; Kang, Han Chyul; Hong, Yang Ki
CS Res. Cent., Oriental Chem. Ind., Inchon, 587-102, S. Korea
SO J. Korean Chem. Soc. (**1994**), 38(2), 92-100
CODEN: JKCSEZ; ISSN: 1017-2548
DT Journal
LA Korean
AB Ultrafine cobalt-substituted iron oxide particles were prepd. by the thermal decompn. and oxidn. of the new organometallic precursor, CoxFe1-x(N2H3COO)2(N2H4)2 (x = 0, 0.01, 0.02, 0.03, 0.05, 0.10, 1.00). The organometallic precursors were synthesized by the reaction of Co(II) and Fe(II) ion in a mole ratio of x:1-x with hydrazinocarboxylic acid, and characterized by quant. anal., elemental anal. and IR spectroscopy. The mechanistic study on the thermal decompn. of the organometallic precursors was performed by TG-DTG and DSC. The cobalt-substituted iron oxide particles were obtained by the heat treatment of the precursors at 350.degree. and 450.degree. for six hours in air. The prepd. iron oxide was found to have two phases such as .gamma.-Fe2O3 and a mixt. of .gamma.-Fe2O3 and .alpha.-Fe2O3 at 350.degree. and 450.degree., resp. The particle shape was equiaxial and the particle size was less than 0.05 .mu.m. The coercivity and squareness of the cobalt substituted iron oxide particles increased with increasing cobalt content. Both coercivity and squareness showed higher values at 450.degree..
IT **302-01-2D**, Hydrazine, compds. with hydrazinecarboxylic acid, cobalt-iron complexes **471-31-8D**, Hydrazinocarboxylic acid, compds. with hydrazine, cobalt-iron complexes
RL: USES (Uses)
(precursor, in prepn. of cobalt-substituted iron oxide powder)

L119 ANSWER 30 OF 52 HCAPLUS COPYRIGHT 2001 ACS
AN 1994:107659 HCAPLUS
DN 120:107659
TI Facile determination of the optical purity of .alpha.-N-Boc-amino aldehydes
AU Reiner, John; Dagnino, Raymond, Jr.; Goldman, Erick; Webb, Thomas R.
CS Dep. Med. Chem., **Corvas** Int., San Diego, CA, 92121, USA
SO Tetrahedron Lett. (**1993**), 34(34), 5425-8
CODEN: TELEAY; ISSN: 0040-4039
DT Journal
LA English
AB A facile 1H NMR spectroscopic method is presented for the detn. of the optical purity of .alpha.-amino aldehydes, via derivatization with optically pure semicarbazides (S)-R1CHMeNHCONHNH2 (R1 = Ph, naphthyl).
IT **870-46-2, tert-Butyl carbazate**
RL: RCT (Reactant)
(sequential condensation of, with **carbonyldiimidazole** and chiral arylamines, semicarbazides from)

L119 ANSWER 31 OF 52 HCAPLUS COPYRIGHT 2001 ACS
AN 1993:473123 HCAPLUS
DN 119:73123
TI Preparation of peptides and new amino acid derivatives for their preparation.
IN Loffet, Albert; Zhang, Hai
PA PROPEPTIDE SA, Fr.
SO Fr. Demande, 30 pp.
CODEN: FRXXBL
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2675804	A1	19921030	FR 1991-5093	19910425 <--
	FR 2675804	B1	19950407		
	WO 9219643	A1	19921112	WO 1992-FR361	19920422 <--

W: JP, US
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE

EP 583338 A1 19940223 EP 1992-910222 19920422 <--
EP 583338 B1 19970312
R: BE, CH, DE, DK, FR, GB, IT, LI, NL, SE
JP 06506680 T2 19940728 JP 1992-509245 19920422 <--
PRAI FR 1991-5093 19910425 <--
WO 1992-FR361 19920422 <--
OS MARPAT 119:73123
AB X-NR1-CHR(Y1)nCOT [X = protecting group, e.g., BOC, Fmoc; R1 = H, alkyl; R = side chain residue contg. at least one function group, e.g., OH, SH, NH; Y1 = Y-O2C; Y = (un)substituted allyl, etc.; T = OH, alkoxy, etc.] are prepd. via protecting the NH2 group of an amino acid with BOC, Fmoc, etc., protecting the side chain function group with allyl(oxycarbonyl), etc., and condensing the protected amino acid with a CO2H- and side chain-protected amino acid, deprotecting the formed peptide, and lengthening the peptide chain analogously and final deprotection (by first removing the protecting group on the NH2, then the protecting group on the side chain, and then the protecting group on the acid function.). E.g., to a soln. of 0.02 mL BOC-Arg-OH in 2 N NaOH (pH = 12) was added 5 mL CH2:CHCH2-O2C-Cl(I), then another 5 mL I was added while the pH was adjusted to 11.5-12 with 2N NaOH, and then the mixt. was stirred for 2 h to give BOC-Arg(CO2-CH2-CH:CH2)2-OH. PAM **resin**-bound BOC-Leu-OH was sequentially condensed with BOC-Gly-OH, BOC-Asp(CH2-CH:CH2)-OH, BOC-Tyr(CH2-CH:CH2)-OH, BOC-Ser(CO2-CH2-CH:CH2)-OH dicyclohexylamine salt, and I to give, after deprotection, H-Arg-Ser-Tyr-Asp-Gly-Leu-OH.
IT **146982-20-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, by N-acylation of protected arginine with allyl chloroformate)
IT **146982-23-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, by N-allyloxycarbonylation of protected arginine deriv.)

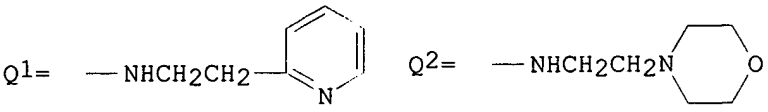
L119 ANSWER 32 OF 52 HCAPLUS COPYRIGHT 2001 ACS
AN 1993:213502 HCAPLUS
DN 118:213502
TI New side-chain protection of amino acids: potential use in **solid phase** peptide synthesis
AU Loffet, A.; Zhang, H. X.
CS PROPEPTIDE, BP, Vert le Petit, F-91710, Fr.
SO Innovation Perspect. Solid Phase Synth. Collect. Pap., Int. Symp., 2nd (**1992**), Meeting Date 1991, 77-82. Editor(s): Epton, Roger. Publisher: Intercept, Andover, UK.
CODEN: 58OLAK
DT Conference
LA English
AB A symposium report on the use of allyl-based protecting groups for the protection of side-chains of multifunctional amino acids. N.alpha.-tert-Butoxycarbonyl (Boc)-protected amino acids Boc-X(Alloc)-OH (Alloc = allyloxycarbonyl; X = Arg, Cys, His, Lys, Ser, Thr), Boc-X(OAll)-OH (All = allyl; X = Asp, Glu) and Boc-Thr(All)-OH and N.alpha.-9-fluorenylmethoxycarbonyl (Fmoc)-protected amino acids Fmoc-X(Alloc)-OH (X = Arg, Cys, His, Lys, Ser, Thr), Fmoc-X(OAll)-OH (X = Asp, Glu) and Fmoc-Thr(All)-OH were synthesized. Their stability as well as deprotection methods are discussed.
IT **146982-20-9P 146982-23-2P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and stability of)

L119 ANSWER 33 OF 52 HCAPLUS COPYRIGHT 2001 ACS
AN 1993:102481 HCAPLUS
DN 118:102481
TI Preparation of N-(bisalkoxyphosphoryl)peptides as renin inhibitors
IN Doherty, Annette M.; Hamilton, Harriet W.; Steinbaugh, Bruce A.
PA Warner-Lambert Co., USA
SO U.S., 26 pp.
CODEN: USXXAM

DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5149692	A	19920922	US 1989-454795	19891221 <--

OS MARPAT 118:102481
GI

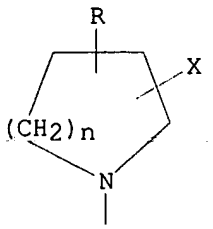


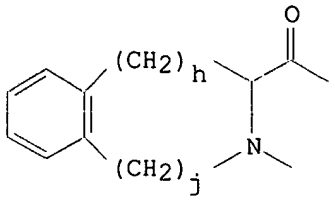
AB AXYWU [I; A = R1O(RO)P(O); R, R1 = H, PhCH2, alkyl, alkenyl; X = Phe, Tyr, Tyr(OMe), homophenylalanyl, cyclohexylalanyl, Leu, Trp, His, MePhe; Y = Gln, His, Leu, Met, Met(O), Met(O2), 2S-aminopentanoyl, 2S-amino-3-(4-thiazolyl)propanoyl, 2S-amino-4-pentenoyl, etc.; W = statinyl, 4S-amino-3S-hydroxy-5-cyclohexanepentanoyl, 3RS,4S-diamino-6-methylheptanoyl, etc.; U = H, NHCH2CH2N(CH2CH2OH)2, morpholino, Q2, Q2], were prepd. Thus, BOC-Alg-Cysta-Aen [Alg = 2S-amino-4-pentenoyl, Cysta = 4S-amino-3S-hydroxy-5-cyclohexanepentanoyl, Aen = N-(2-aminoethyl)morpholine] was stirred with CF3CO2H in CH2Cl2 and the residue was treated with HCl in CH2Cl2. The product was stirred with (Me2CH)2NEt, Q3-Phe-OH [Q3 = (Me2CH)2P(O)] (prepn. given), hydroxybenzotirazole, and DCC in **DMF** to give Q3-Phe-Alg-Cysta-Aen. The latter inhibited renin with IC50 = 0.97 .times. 10-9 M.
IT **90600-20-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for renin inhibitor)

L119 ANSWER 34 OF 52 HCAPLUS COPYRIGHT 2001 ACS
AN 1993:102472 HCAPLUS
DN 118:102472
TI Preparation of hexa- and heptapeptide anaphylatoxin-receptor ligands
IN Wiedeman, Paul E.; Kawai, Megumi; Luly, Jay R.; Or, Yat Sun; Wagner, Rolf
PA Abbott Laboratories, USA
SO PCT Int. Appl., 161 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9211858	A1	19920723	WO 1991-US9319	19911210 <--
	W: CA, JP				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
	US 5386011	A	19950131	US 1990-634641	19901227 <--
	CA 2095359	AA	19920628	CA 1991-2095359	19911210 <--
	EP 564588	A1	19931013	EP 1992-903749	19911210 <--
	EP 564588	B1	19970212		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	AT 148891	E	19970215	AT 1992-903749	19911210 <--
PRAI	US 1990-634641	19901227 <--			
	WO 1991-US9319	19911210 <--			

OS MARPAT 118:102472
GI

Q1= 

Q2= 

AB A-B-D-E-G-J-L-M-Q [A = R1R2R3; B = R4R5R6, R35, R37; D = R7, R8, R9, R35; E = R10R11R12, R35; G = R13R14R15, R35; J = R16R17R18, R35; L = R19R20R21, R35; M = bond, R22R23R24, R35; Q = R25R26R27; R1 = aryl, alkyl, arylalkyl, H; R2 = O, (substituted) CH2; R1R2 = H, aryl; R1R2R3 = H, alkyl, aralkyl, alkenyl, protecting group; R3 = CO, CH2; R4 = (substituted) NH; R5, R8, R14, R17 = (substituted) CH2, C:CH2, imino, cyclopropylene; R6, R9, R12, R15, R18, R21, R24 = CO; R7, R10, R13, R16, R19, R22 = NH; R20, R23 = (substituted) CH2, C:CH2, cyclopropylene; R25 = O, (substituted) NH; R26 = H, alkyl, oralkyl, (substituted) NH; R27 = H, aryl; R26R27 = H, alkyl, aralkyl; R35 = Q1; n = 0-2; X = CO; R = H, alkyl; R37 = h = 1; j = 0, 1], were prepd. Thus, H-Phe-Lys-Lys-Q3-Q4-D-Arg-OH [Q3 = (2R)-2-amino-3-cyclohexylpropanoyl, Q4 = (2S)-2-amino-3-cyclohexylpropanoyl] (prepd. by **solid phase** methods) bound to anaphylatoxin receptors with Ki = 0.011 .mu.m.

IT **90600-20-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for peptide anaphylatoxin receptor ligand)

L119 ANSWER 35 OF 52 HCAPLUS COPYRIGHT 2001 ACS
AN 1992:651788 HCAPLUS
DN 117:251788
TI Mitsunobu alkylation of azaglycine-containing peptides
IN Talaga, Patrice; Koenig, Wolfgang
PA Hoechst A.-G., Germany
SO Eur. Pat. Appl., 8 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	EP 496393	A1	19920729	EP 1992-101078	19920123	<--
	EP 496393	B1	19950125			
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, PT, SE					
	US 5326875	A	19940705	US 1992-822929	19920121	<--
	FI 9200270	A	19920725	FI 1992-270	19920122	<--
	NO 9200312	A	19920727	NO 1992-312	19920123	<--
	NO 179747	B	19960902			
	NO 179747	C	19961211			
	JP 04334360	A2	19921120	JP 1992-9826	19920123	<--
	JP 3018264	B2	20000313			
	ES 2069322	T3	19950501	ES 1992-101078	19920123	<--

PRAI DE 1991-4102015 19910124 <--
OS CASREACT 117:251788; MARPAT 117:251788
AB XAnNRNHCONH2 [X = protecting group, alkanoyl, arylcarbonyl, arylalkanoyl; A = (N-protected) amino- or iminoacid residue; n = 0-10; R = alkyl, (hetero)arylalkanoyl], were prepd. by reaction of XAnNHNHCONH2 with a primary or secondary alc. and excess di-Et azodicarboxylate and trialkylphosphine, triarylphosphine, or pyridyldiarylphosphine in an ether solvent at 0-30.degree. followed by optional deprotection. Thus, FMOC-Phe-NHNHCONH2 (prepn. given), Ph3P, MeOH, and di-Et azodicarboxylate were stirred 4 h in THF at 0.degree.-room temp. to give 36% FMOC-Phe-NMeNHCONH2. The latter was deprotected with Et2NH in **DMF** to give, after treatment with HCl, H-Phe-NMeNHCONH2.HCl.

IT **471-31-8D**, Azaglycine, peptides contg.
RL: RCT (Reactant)
(N-alkylation of, with alcs., azodicarboxylate, and triorganophosphine)

L119 ANSWER 36 OF 52 HCAPLUS COPYRIGHT 2001 ACS
AN 1992:571983 HCAPLUS
DN 117:171983
TI Fmoc-Arg.omega.,.omega.'(Boc)2-OH and Z-Arg.omega.,.omega.'(Boc)2-OH: New arginine derivatives for peptide synthesis
AU Verdini, Antonio S.; Lucietto, Pierluigi; Fossati, Gianluca; Giordani, Cristiana
CS Italfarmaco S.p.A., Cinisello Balsamo, I-20092, Italy
SO Pept.: Chem. Biol., Proc. Am. Pept. Symp., 12th (**1992**), Meeting Date 1991, 562-3. Editor(s): Smith, John A.; Rivier, Jean E. Publisher: ESCOM, Leiden, Neth.
CODEN: 57XGA9
DT Conference
LA English
AB A report from a symposium on the prepn. of the title compds. (Fmoc = 9-fluorenylmethoxycarbonyl; Boc = tert-butoxycarbonyl; Z = benzyloxycarbonyl) for use in homogeneous- and **solid-phase** peptide syntheses.
IT **143824-77-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as building block for prepn. of arginine-contg. peptides)

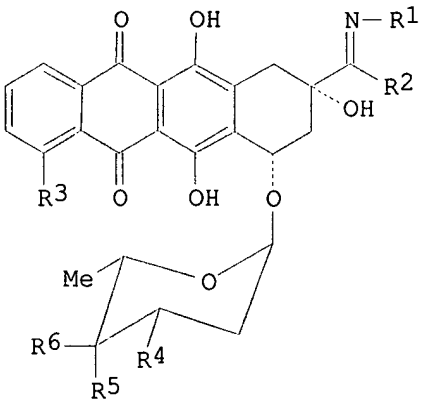
L119 ANSWER 37 OF 52 HCAPLUS COPYRIGHT 2001 ACS
AN 1992:523374 HCAPLUS
DN 117:123374
TI Chromium(II) and -(III) complexes containing hydrazines or hydrazinecarboxylates as ligands
AU Edwards, Dennis A.; Thompsett, David; Bellerby, John M.
CS Sch. Chem., Univ. Bath, Bath, BA2 7AY, UK
SO J. Chem. Soc., Dalton Trans. (**1992**), (11), 1761-7
CODEN: JCDTBI; ISSN: 0300-9246
DT Journal
LA English
AB [{CrX2(R2R1NNH2)2}n] (X = Cl, R1 = H, Me, Ph, R2 = H; R1 = R2 = Me; X = Br, R1 = H, Ph, R2 = H) have been prepd. The monomethylhydrazine complex is analogous to the well known hydrazine complexes with bridging NH2NHR (R = H or Me) ligands and terminal halide ligands, whereas the N,N-dimethyl- and phenylhydrazine complexes involve unidentate hydrazine and bridging halide ligands. The quadruple metal-metal bonded complexes [{Cr2(OAc)4(.mu.-R2R1NNH2)}n] (R1 = H or Me, R2 = H; R1 = R2 = Me) contg. bridging NH2NR1R2 ligands and [Cr2(OAc)4(PhNHNH2)2] contg. unidentate NH2NHPh ligands have also been prepd. and characterized. [{Cr(O2CNHNH2)2(H2O)}n] has been prepd. by either cleavage of the metal-metal bonds of [Cr2(OAc)4L2] (L = H2O or 0.5 N2H4) or ligand-displacement reactions of mononuclear chromium(II) complexes. Its IR spectrum and that of the fully deuterated analog have been recorded and vibrational assignments proposed. Oxidn. of [{Cr(O2CNHNH2)2(H2O)}n] or other chromium(II) species in aq. [N2H5][O2CNHNH2] gave [CrIII(O2CNHNH2)3].cntdot.2H2O. [Cr{O2CN(Me)NH2}3].cntdot.H2O and [Cr2(O2CNHN HPh)4(MeOH)2] have also been isolated, the latter probably contg. carboxylate-O,O' groups bridging a metal-metal bonded Cr2 unit in the manner well established for other carboxylate anions.
IT **471-31-8P**, Hydrazinecarboxylic acid
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and complexation of, with chromium)
IT **302-01-2**, Hydrazine, reactions
RL: RCT (Reactant)
(reaction of, with carbon dioxide)

L119 ANSWER 38 OF 52 HCAPLUS COPYRIGHT 2001 ACS
AN 1992:214841 HCAPLUS
DN 116:214841

TI Preparation of anthracycline immunoconjugates as neoplasm inhibitors
IN Kaneko, Takushi; Willner, David; Monkovic, Ivo; Greenfield, Robert S.; Braslawsky, Gary R.
PA Bristol-Myers Squibb Co., USA
SO Eur. Pat. Appl., 45 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 457250	A2	19911121	EP 1991-107737	19910513 <--
EP 457250	A3	19920701		
EP 457250	B1	19990714		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 5137877	A	19920811	US 1990-522996	19900514 <--
US 5137877	B1	19960130		
AU 9174038	A1	19911114	AU 1991-74038	19910403 <--
AU 646850	B2	19940310		
FI 9102285	A	19911115	FI 1991-2285	19910510 <--
JP 04352765	A2	19921207	JP 1991-199757	19910510 <--
JP 3010319	B2	20000221		
JP 2000026404	A2	20000125	JP 1999-131583	19910510 <--
ZA 9103591	A	19920226	ZA 1991-3591	19910513 <--
AT 182141	E	19990715	AT 1991-107737	19910513 <--
ES 2134761	T3	19991016	ES 1991-107737	19910513 <--
CA 2042503	AA	19911115	CA 1991-2042503	19910514 <--
US 5349066	A	19940920	US 1992-865062	19920408 <--

PRAI US 1990-522996 19900514 <--
JP 1991-199757 19910510 <--
OS MARPAT 116:214841
GI



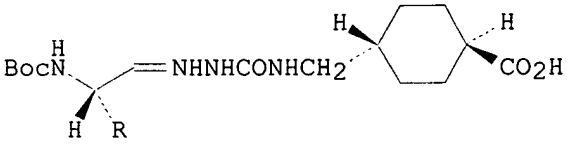
I

AB Anthracycline derivs. I [R1 = NHCONH(CH2)nSSR8, NHCONHNHCONH(CH2)nSSR8, NHCSNH(CH2)mCH:CH(CH2)nSSR8, NHCO2(CH2)nSSR8, NHArCONH(CH2)nSSR8, etc.; m, n = 1-10; R8 = (substituted) 2-pyridyl, -phenyl; Ar = phenylene; R2 = Me, CH2OH, CH2OCO(CH2)3Me, CH2OCOCH(OEt)2; R3 = OMe, OH, H; R4 = NH2 NHCOCF3, 4-morpholinyl, 3-cyano-4-morpholinyl, 1-piperidinyl, NHCH2Ph, N(CH2Ph)2, etc.; R5 = OH, tetrahydropyranyloxy, H; R6 = OH, H; R6 .noteq. OH when R5 = OH or tetrahydropyranyloxy], related compds., and their conjugates with ligands and antibodies, were prepd. Thus, 1-amino-4-[(2-pyridinyl)dithio]-2-butene-HCl (prepn. given) was treated with di(2-pyridyl) thionocarbonate and the product formed was condensed with Me3CO2CNHNH2. Deprotection of the resulting product by CF3CO2H gave N-[4-(2-pyridinyl)dithio]-2-butenyl]hydrazinecarbothioamide. This was condensed with adriamycin-HCl to give adriamycin 13-N-4-[(2-pyridinyl)dithio]-2-butenylhydrazinecarbothioamide thiosemicarbazene.cntdot.HCl (II). The immunoconjugate of II with thiolated monoclonal antibody 5E9 had IC50 of

3.0 .times. 101-7M against Burkitt's lymphoma cells.

IT **530-62-1 870-46-2, tert-Butyl carbazate**
RL: RCT (Reactant)
(reaction of, in prepn. of anticancer immunoconjugates)

L119 ANSWER 39 OF 52 HCAPLUS COPYRIGHT 2001 ACS
AN 1992:194851 HCAPLUS
DN 116:194851
TI Automated synthesis of peptide C-terminal aldehydes
AU Murphy, Aileen M.; Dagnino, Raymond, Jr.; Vallar, Pureza L.; Trippe, Anthony J.; Sherman, Shannon L.; Lumpkin, Richard H.; Tamura, Susan Y.; Webb, Thomas R.
CS **Corvas** Int. Inc., San Diego, CA, 92121, USA
SO J. Am. Chem. Soc. (**1992**), 114(8), 3156-7
CODEN: JACSAT; ISSN: 0002-7863
DT Journal
LA English
GI



I

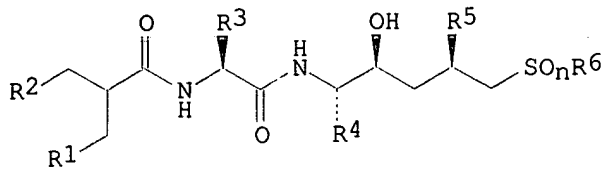
AB The title compds., e.g. Boc-D-Leu-X-Arg-H (Boc = Me3CO2C; X = Pro, Ser) and Boc-Ala-Ala-Pro-X1-H (X1 = Ala, Val, Phe) were prepd. by the **solid phase** method using linkers I [R = (protected) amino acid side chain]. Peptides are assembled using std. Boc protocols, and cleaved from the **resin** with dil. aq. acid/formaldehyde to give protected peptide C-terminal aldehydes. Arginal-contg. peptide aldehydes with various hydrogen/Pd labile protecting groups can be deprotected in a single step to give the unprotected peptide aldehydes after purifn. by reverse-phase HPLC.

IT **870-46-2, tert-Butyl carbazate**
RL: RCT (Reactant)
(condensation of, with **carbonyldiimidazole** and (aminomethyl)cyclohexanecarboxylate)

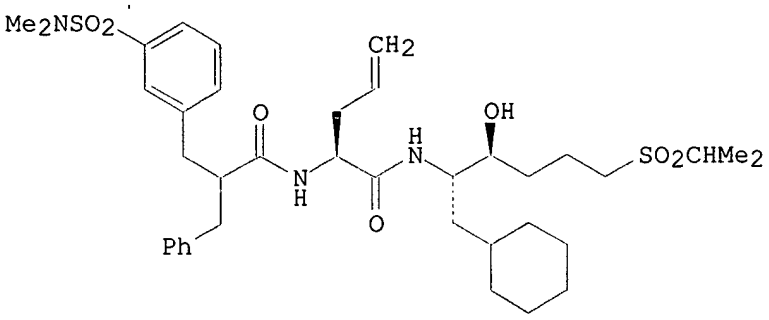
L119 ANSWER 40 OF 52 HCAPLUS COPYRIGHT 2001 ACS
AN 1992:6975 HCAPLUS
DN 116:6975
TI Preparation of peptide amide sulfones as **resin** inhibitors
IN Karlsson, Jan Olle; Sohtell, Erik Morgan Herman; Westerlund, Rolf Christer
PA Astra AB, Swed.
SO PCT Int. Appl., 60 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9109838	A1	19910711	WO 1990-SE847	19901218 <--
	W: AT, AU, BB, BG, BR, CA, CH, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MC, MG, MW, NL, NO, RO, SD, SE, SU, US				
	RW: AT, BE, BF, BJ, CF, CG, CH, CM, DE, DK, ES, FR, GA, GB, GR, IT, LU, ML, MR, NL, SE, SN, TD, TG				
	ZA 9009947	A	19910828	ZA 1990-9947	19901211 <--
	AU 9170323	A1	19910724	AU 1991-70323	19901218 <--
	CN 1052679	A	19910703	CN 1990-110070	19901221 <--
PRAI	SE 1989-4350		19891222 <--		
	SE 1990-2439		19900716 <--		

WO 1990-SE847 19901218 <--
OS MARPAT 116:6975
GI



I



II

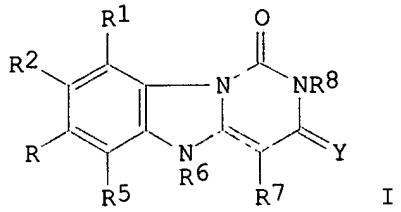
AB Title compds. (I; R1 = R7R8ZSOmX; R2 = aryl; R3 = alkyl, alkenyl; R4 = alkyl, cycloalkylalkyl; R5 = H, alkyl; R6 = H, alkyl, cycloalkyl, arylalkyl, cycloalkylalkyl; R7, R8 = H, alkyl; or R7R8Z = heterocyclyl; X = aryl; Z = CH, N; m, n = 0-2), were prepd. Thus, Br(CH2)3Cl was condensed with Me2CHSH to give 72% Cl(CH2)3SCHMe2. The latter in THF was treated with Mg and then tert-butoxycarbonylcyclohexylalaninal to give a separable mixt. of threo (desired) and erythro alcs. The threo alc. was oxidized to the sulfone, deprotected, and coupled with (S)-tert-butoxycarbonylallylglycine hydroxybenzotriazole ester. The product was deprotected and acylated to give title compd. II. I inhibited human renin with pIC50 = 8.4-9.1 at pH 6.0.
IT **90600-20-7**
RL: PROC (Process)
(conversion of, to hydroxybenzotriazole ester, in prepn. of renin inhibitor)

L119 ANSWER 41 OF 52 HCAPLUS COPYRIGHT 2001 ACS
AN 1992:6577 HCAPLUS
DN 116:6577
TI Preparation of pyrimido[1,6-a]benzimidazole-1,3-diones as antibacterials
IN Hubschwerlen, Christian; Kompis, Ivan; Specklin, Jean Luc
PA Hoffman-La Roche, F., A.-G., Switz.
SO Can. Pat. Appl., 48 pp.
CODEN: CPXXEB
DT Patent
LA English
FAN.CNT 2

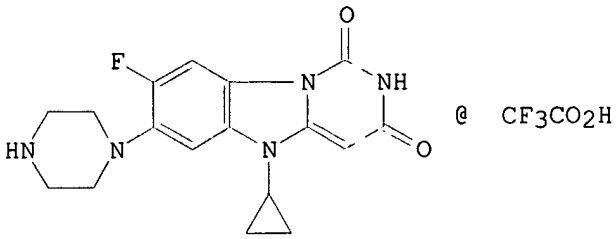
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CA 2028530	AA	19910522	CA 1990-2028530	19901025 <--
	EP 433648	A1	19910626	EP 1990-121665	19901113 <--
	R: AT, BE, CH, DE, DK, FR, GB, IT, LI, LU, NL, SE				
	ZA 9009138	A	19910731	ZA 1990-9138	19901114 <--
	JP 03170481	A2	19910724	JP 1990-307348	19901115 <--
	AU 9066700	A1	19910711	AU 1990-66700	19901116 <--
	AU 640708	B2	19930902		
PRAI	CH 1989-4165		19891121 <--		
	CH 1990-2688		19900817 <--		
	CH 1990-2817		19900830 <--		

OS MARPAT 116:6577

GI



I



II

AB Title compds. [I; R = alkylpyrid-4-yl, R3R4N; R1 = H, halo, amino; R2 = halo; R3, R4 = H, alkyl; R3R4 = (substituted) (O-, S-, or imino-interrupted) alkylene; R5 = H, halo, alkoxy, amino; R6 = (cyclo)alkyl, haloalkyl (substituted) Ph; R7 = H, alkyl, CO2H; R8 = H, OH, alkoxy, amino; Y = O, S) were prepd. Thus, tert-Bu 4-[2-(carbamoylmethyl)-1-cyclopropyl-5-fluoro-6-benzimidazolyl]-1-piperazinecarboxylate (prepn. from 1-chloro-2,5-difluoro-4-nitrobenzene given) in THF was treated with **carbonyldiimidazole** and 1,8-diazabicyclo[5.4.0]undec-7-ene at 60.degree. for 2 h to give 73% tert-Bu 4-[5-cyclopropyl-8-fluoro-1,2,3,5-tetrahydro-1,3-dioxopyrimido[1,6-a]benzimidazol-7-yl]-1-piperazinecarboxylate. The latter was stirred 1 h in CF3CO2H to give 60.6% title compd. II. II inhibited Escherichia coli DNA gyrase with a max. noneffective concn. of 0.45 .mu.g/mL. Tablets and capsules were prepd. contg. the free base of II.

IT **530-62-1**, 1,1'-**Carbonyldiimidazole 870-46-2**, **tert-Butyl carbazate**
RL: RCT (Reactant)
(reaction of, in prepn. of pyrimidobenzimidazole antibacterial)

L119 ANSWER 42 OF 52 HCAPLUS COPYRIGHT 2001 ACS
AN 1991:423910 HCAPLUS
DN 115:23910
TI Identification of novel hydrazine metabolites by nitrogen-15-NMR
AU Preece, Nicholas E.; Nicholson, Jeremy K.; Timbrell, John A.
CS Birkbeck Coll., Univ. London, London, UK
SO Biochem. Pharmacol. (**1991**), 41(9), 1319-24
CODEN: BCPCA6; ISSN: 0006-2952
DT Journal
LA English
AB 15N-NMR was used to study the metab. of hydrazine in rats in vivo. Single doses of [15N2]hydrazine (2.0 mmol/kg: 98.6% g atom) were administered to rats and urine collected for 24 h over ice. A no. of metabolites were detected by 15N-NMR anal. of lyophilized urine. Ammonia was detected as a singlet at 0 ppm, and unchanged [15N2]hydrazine was present in the urine detectable as a singlet at 32 ppm. Peaks were obsd. at 107 and 110 ppm which were identified as being due to the hydrazido nitrogen of acetylhydrazine and diacetylhydrazine, resp. A resonance at 85 ppm was ascribed to carbazic acid, resulting from reaction of hydrazine with carbon dioxide. A singlet detected at 316 ppm was thought to be due to the hydrazono nitrogen of the pyruvate hydrazone. The resonance at 56 ppm was assigned to 15N-enriched urea, which, together with the presence of

ammonia, indicates that the N-N bond of hydrazine is cleaved in vivo, possibly by N-oxidn., and the resultant assigned to a metabolite which results from cyclization of the 2-oxoglutarate hydrazone. Therefore, 15N-NMR spectroscopic anal. of urine has yielded significant new information on the metab. of hydrazine.

IT **302-01-2D**, Hydrazine, metabolites **471-31-8**, Carbazic acid
RL: PROC (Process)
(identification of, by NMR)

IT **302-01-2**, Hydrazine, biological studies
RL: BPR (Biological process); BIOL (Biological study); PROC (Process)
(metab. of)

L119 ANSWER 43 OF 52 HCAPLUS COPYRIGHT 2001 ACS
AN 1991:253927 HCAPLUS
DN 114:253927
TI New hydrazone derivatives of Adriamycin and their immunoconjugates - a correlation between acid stability and cytotoxicity
AU Kaneko, Takushi; Willner, David; Monkovic, Ivo; Knipe, Jay O.; Braslawsky, Gary R.; Greenfield, Robert S.; Vyas, Dolatrai M.
CS Bristol-Myers Squibb Co., Wallingford, CT, 06492-7660, USA
SO Bioconjugate Chem. (**1991**), 2(3), 133-41
CODEN: BCCHES; ISSN: 1043-1802
DT Journal
LA English
AB New N-substituted hydrazine linkers were synthesized and their hydrazone derivs. of adriamycin were prepd. The adriamycin derivs. were conjugated with a monoclonal antibody, 5E9. The release rate of adriamycin from the hydrazones and from some of the conjugates was studied, and their relationship to the cytotoxicity against 5E9-pos. Daudi cells was investigated.

IT **870-46-2, tert-Butyl carbazate**
RL: BIOL (Biological study)
(condensation of, with chlorocarbonylaminoethyldithiopyridine)

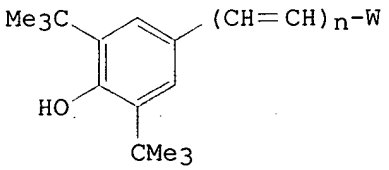
L119 ANSWER 44 OF 52 HCAPLUS COPYRIGHT 2001 ACS
AN 1991:242559 HCAPLUS
DN 114:242559
TI Structure-activity relationships for osteolathyrism: IV. Para-substituted benzoic acid hydrazides and alkyl carbazates
AU Dawson, Douglas A.; Schultz, T. Wayne; Baker, Leslie L.
CS Coll. Vet. Med., Univ. Tennessee, Knoxville, TN, 37901-1071, USA
SO Environ. Toxicol. Chem. (**1991**), 10(4), 455-61
CODEN: ETOCDK; ISSN: 0730-7268
DT Journal
LA English
AB Nine benzoic acid hydrazides and carbazates were assayed for toxicity and teratogenicity by using early embryos of the frog Xenopus laevis. The results of the 96-h static tests were used for quant. structure-activity relationship (QSAR) analyses. Each compd. induced the connective tissue defect osteolathyrism. Regression analyses indicated toxicity (LC50) and teratogenicity (EC50) were best correlated with the STERIMOL width parameter B1, but due to redundancy in B1 values for the test chems. and the relatively low r2 for the models, those equations should be used with caution. The mortality/malformation index was neg. correlated with molar refractivity. The relationships indicate that steric interactions may be important in explaining the variation in biol. activity due to changes in chem. structure. Frog embryo teratogenesis assay: Xenopus (FETAX) may be useful in aquatic toxicol. hazard assessment, evaluating developmental malformation.

IT **471-31-8D**, Carbazic acid, alkyl derivs. **870-46-2, tert-Butylcarbazate**
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)
(teratogenesis from and toxicity of, in Xenopus laevis embryo, osteolathyrism during, MSBAR in relation to)

L119 ANSWER 45 OF 52 HCAPLUS COPYRIGHT 2001 ACS
AN 1990:631381 HCAPLUS
DN 113:231381
TI Preparation of (3,5-di-tertiary-butyl-4-hydroxyphenyl)thiadiazoles, -oxadiazoles, and -triazoles as antiinflammatory agents
IN Connor, David Thomas; Kostlan, Catherine Rose; Mullican, Michael David; Wilson, Michael William; Flynn, Daniel Lee; Shrum, Gary Paul; Unangst, Paul Charles
PA Warner-Lambert Co., USA
SO Eur. Pat. Appl., 79 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 371438	A2	19900606	EP 1989-121896	19891128 <--
	EP 371438	A3	19910327		
	EP 371438	B1	19950830		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	FI 93954	B	19950315	FI 1989-5669	19891127 <--
	FI 93954	C	19950626		
	DK 8905997	A	19900530	DK 1989-5997	19891128 <--
	NO 8904742	A	19900530	NO 1989-4742	19891128 <--
	NO 178623	B	19960122		
	NO 178623	C	19960502		
	AU 8945625	A1	19900621	AU 1989-45625	19891128 <--
	AU 631385	B2	19921126		
	JP 02270865	A2	19901105	JP 1989-306789	19891128 <--
	JP 2821208	B2	19981105		
	ZA 8909073	A	19910731	ZA 1989-9073	19891128 <--
	ES 2075845	T3	19951016	ES 1989-121896	19891128 <--
	KR 9704914	B1	19970408	KR 1989-17310	19891128 <--
	CA 2004154	AA	19900529	CA 1989-2004154	19891129 <--
	CA 2004154	C	19971007		
	US 5155122	A	19921013	US 1991-753015	19910823 <--
	US 5256680	A	19931026	US 1992-906255	19920629 <--
	US 5376670	A	19941227	US 1993-90723	19930713 <--
PRAI	US 1988-277171	19881129 <--			
	US 1989-426814	19891030 <--			
	US 1991-753015	19910823 <--			
	US 1992-906255	19920629 <--			

OS MARPAT 113:231381
GI



I

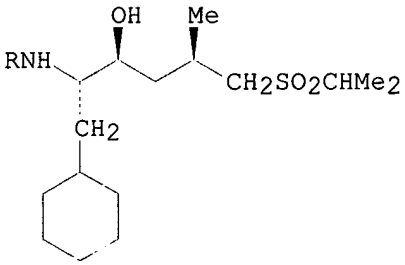
AB The title compds. [I; W = (substituted) 1,3,4-oxa- or -thiadiazol-2-yl, 1,2,4-oxa- or -thiadiazol-3-yl, 1,2,4-triazol-3-yl; n = 0, 1] were prepd. For example, 3,5-bis(tert-butyl)-4-hydroxybenzonitrile underwent O-protection by MeOCH2CH2OCH2Cl (97%), addn. reaction with hydrazine to give the carboximidic acid hydrazide (67%), cyclocondensation with CS2 (80%), and O-deprotection (52%) to give I (W = 5-thioxo-1,3,4-thiadiazol-2-yl, n = 0) (II). The ED40 of II for inhibiting swelling in the carrageenan-induced rat paw edema test was 1.9 mg/kg orally. Approx. 70 I and numerous precursors were prepd. Addnl. data, showing inhibition of 5-lipoxygenase and cyclooxygenase and absence of ulcerogenicity in rats at 200 mg/kg, are given.

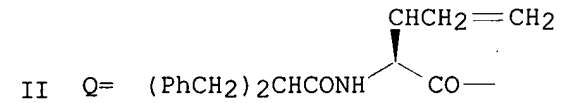
IT **530-62-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of antiinflammatory azoles)
IT **870-46-2**
RL: RCT (Reactant)
(reaction of, in prepn. of antiinflammatory azoles)

L119 ANSWER 46 OF 52 HCAPLUS COPYRIGHT 2001 ACS
AN 1990:532822 HCAPLUS
DN 113:132822
TI Preparation of renin-inhibiting peptide isosteres as antihypertensives
IN Karlsson, Jan Olle; Sohtell, Erik Morgan Herman; Westerlund, Rolf Christer
PA Aktiebolag Haessle, Swed.
SO Eur. Pat. Appl., 24 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 353211	A1	19900131	EP 1989-850205	19890620 <--
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ZA 8904544	A	19900725	ZA 1989-4544	19890614 <--
DK 8903009	A	19891229	DK 1989-3009	19890619 <--
NO 8902564	A	19891229	NO 1989-2564	19890621 <--
AU 8936711	A1	19900104	AU 1989-36711	19890622 <--
FI 8903118	A	19891229	FI 1989-3118	19890627 <--
JP 02085245	A2	19900326	JP 1989-162851	19890627 <--
HU 51291	A2	19900428	HU 1989-3239	19890627 <--
DD 284027	A5	19901031	DD 1989-330012	19890627 <--
CN 1039028	A	19900124	CN 1989-104514	19890628 <--

PRAI SE 1988-2428 19880628 <--
OS MARPAT 113:132822
GI



II Q= 

AB ANR1CHR2CONHCHR3CH(OH)CH2CHR4CH2S(O)qR5 [I; A = R6Z(CH2)nX[(CH2)oWR7](CH2)pCO; R1 = H, alkyl; R2 = straight or branched (un)substituted alkyl, alkenyl, cycloalkyl, aryl, etc.; R3 = straight or branched alkyl, cycloalkylalkyl, arylalkyl; R4 = H, straight or branched alkyl; R5 = straight or branched alkyl, cycloalkyl(alkyl), aryl, arylalkyl; n, o, p, q = 0-2; X = CH, N; Z, W = absent, O, CHR8; R6, R7 = straight or branched alkyl, cycloalkyl, (un)substituted aryl; R8 = alkyl; excluding a specific compd.], were prepd. Thus, condensation of an amino alc. (II; R = H) (prepn. given) with (S)-BOC-NHCH(CH2CH:CH2)CO2H (BOC = Me3CO2C) in the presence of hydroxybenzotriazole and N-cyclohexyl-N'-(2-morpholinoethyl)carbodiimide metho-p-toluenesulfonate in CH2Cl2 gave 75% II [R = (S)-BOC-NHCH(CH2CH:CH2)CO] which was deprotected with CF3CO2H in CH2Cl2 and then acylated with dibenzylacetic acid hydroxybenzotriazole ester in **DMF** to give 61% II (R = Q). A total of 53 I including their diastereoisomers were prepd. and 39 I in vitro inhibited human renin with -log IC50 of 5.0-8.8.
IT **90600-20-7**

RL: RCT (Reactant)
(amidation of, with aminocyclohexylpropane deriv.)

L119 ANSWER 47 OF 52 HCAPLUS COPYRIGHT 2001 ACS
AN 1990:16273 HCAPLUS
DN 112:16273
TI Renin-inhibitory peptides, processes for preparing them, methods for using them, and compositions containing them
IN Hamilton, Harriet Wall; Hodges, John Cooke; Repine, Joseph Thomas; Sircar, Ila
PA Warner-Lambert Co., USA
SO Eur. Pat. Appl., 67 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 314060	A2	19890503	EP 1988-117744	19881025 <--
	EP 314060	A3	19910619		
	R: ES, GR				
	ZA 8807479	A	19900627	ZA 1988-7479	19881005 <--
	WO 8903841	A1	19890505	WO 1988-US3785	19881025 <--
	W: AT, AU, CH, DE, DK, FI, GB, JP, KR, LU, NL, NO, SE, US, US				
	RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
	AU 8927831	A1	19890523	AU 1989-27831	19881025 <--
	EP 389535	A1	19901003	EP 1988-910298	19881025 <--
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	JP 03500880	T2	19910228	JP 1988-509384	19881025 <--
	US 5063207	A	19911105	US 1989-384236	19890724 <--
	US 5162527	A	19921110	US 1991-676047	19910327 <--
	US 5288851	A	19940222	US 1992-925702	19920804 <--

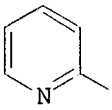
PRAI	US 1987-113772	19871026	<--
	US 1988-206023	19880617	<--
	WO 1988-US3785	19881025	<--
	US 1989-384236	19890724	<--
	US 1991-676047	19910327	<--

OS MARPAT 112:16273
AB Renin-inhibiting peptides, A-X-Y-W-U [I; A = RN(R')(CH2)nE, (R, R' = H, benzyl, lower alkyl; E = SO2, CO; n = 0-3), etc.; X = Phe, homoPhe, Tyr, Tyr(OMe), etc.; Y = Gln, His, Leu, etc.; W = 4(S)-amino-3(S)-hydroxy-6-methylheptanoic acid (STA), 4(S)-amino-3(S)-hydroxy-5-cyclohexanepentanoic acid, etc.; U = NHCH2CH(Me)CH2Me, Leu-NHCH2Ph, etc.] or their acceptable acid addn. salts are prepd. for treating renin-assocd. hypertension, hyperaldosteronism, and congestive heart failure or for detg. the presence of renin-assocd. hypertension in a patient. A pharmaceutical compn. comprises an effective amt. of I and an acceptable carrier. A mixt. of Me2NSO2-Phe, DCC, hydroxybenzotriazole.H2O and **DMF** was treated with a soln. of Lys(CSNHMe)-STA-NHCH2CH(Me)CH2Me to give Me2NSO2-Phe-Lys(CSNHMe)-STA-NHCH2CH(Me)CH2Me (II). In an in vitro renin inhibitory test, II had an IC50 of 2.5 .times. 10-8M.
IT **90600-20-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of renin-inhibiting peptide)

L119 ANSWER 48 OF 52 HCAPLUS COPYRIGHT 2001 ACS
AN 1988:406417 HCAPLUS
DN 109:6417
TI Preparation and use of novel crosslinking agents for biological molecules.
IN Nitecki, Danute Emilija; Moreland, Margaret
PA Cetus Corp., USA
SO Eur. Pat. Appl., 14 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 240200	A2	19871007	EP 1987-302261	19870317 <--
	EP 240200	A3	19900328		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	US 4797491	A	19890110	US 1986-840604	19860317 <--
	JP 62252759	A2	19871104	JP 1987-60275	19870317 <--
	US 5034514	A	19910723	US 1988-256723	19881012 <--
	US 5276140	A	19940104	US 1991-639050	19910109 <--
PRAI	US 1986-840604	19860317 <--			
	US 1988-256723	19881012 <--			

GI



$SSCH_2CH_2CONH(CH_2)_3O(CH_2)_4O(CH_2)_3NHG$ II

AB LS(CH2)nCONHWNHX [I; L = H, SAr; Ar = (un)substituted Ph, pyridyl; W = oxaalkylene, hydroxysubstituted oxaalkylene including [(CH2)mO]2(CH2)m, CH2CH(OH)CH2O(CH2)pOCH2CH(OH)CH2; X = COYCONHNH2, 4-(H2NNH)C6H4NH, 4-(H2NNH)C6H4NHZCO, COYCHCONHNH2, COZNHCSNHNH2; Y = alkylene, oxaalkylene; Z = Y, polypeptide residue; n, m = 2-4; p = 2-6] were prepd. as crosslinking agents for biol. significant moieties. Monoprotected 4,9-dioxa-1,12-dodecanediamine was added to 3-(2-pyridyldithio)propionic acid in CHCl3 contg. **carbonyldiimidazole** to give 74% [(pyridyldithio)propionyl]diamine II (G = CO2CMe3) which was deprotected to give II (G = H). The latter compd. was stirred overnight with HO2C(CH2)3CONHNHCO2CMe3 (prepn. given) in MeCN contg. 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide to give 25% II (G = CO(CH2)3CONHNHCO2CMe3).

IT **870-46-2**
RL: RCT (Reactant)
(reaction of, in prepn. of crosslinking agents for biol. mols.)

L119 ANSWER 49 OF 52 HCAPLUS COPYRIGHT 2001 ACS
AN 1983:506319 HCAPLUS
DN 99:106319
TI Chain extending agent for thermoreactive systems
IN Vylet, Jiri; Plicka, Eduard; Karasek, Otakar; Hlustik, Karel
PA Czech.
SO Czech., 5 pp.
CODEN: CZXXA9
DT Patent
LA Czech
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CS 203548	B	19810331	CS 1978-7449	19781115 <--

AB A mixt. of .gtoreq.2 solid carbamates of different polyamines having mol. wt. 32-810 and difference in amine nos. 335-3300 mg KOH/g are used as chain extenders for polyurethane prepolymers in manufg. of films, cast articles, artificial leathers, and insulation foams. Heat decompn. of the carbamates to CO2 and reactive amine groups proceeds in a broad temp. region with several maxs. and leads to formation of smooth surfaces and fine even foams. The stepwise decompn. can be assisted by different grain size of the carbamates. Thus, 7-methyl-4,10-dioxatridecan-1,13-diamine (I) [63145-11-9] was pptd. with CO2 in EtOH, dried, and ground to particule size 80-100 .mu.m. Diaminoethane [107-15-3] (3 parts) in 100 parts polypropylene glycol (OH no. k6) was pptd. with CO2, this carbamate [109-58-0] dispersion (grain size 0.2-5 .mu.m) gave with TDI a prepolymer, which was mixed with 50 parts of a prepolymer prepd. from a polyether and diphenylmethane diisocyanate and contg. 5.6 parts I-based carbamate

[86892-91-3], applied to a sepn. paper, and hardened at 160.degree. for 4 min to obtain a film with tensile strength 2.2 MPa, elongation 320%, and tearing resistance 5.6 N/min.

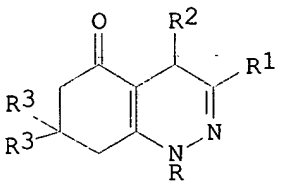
IT **471-31-8**
RL: USES (Uses)
(chain extenders, for polyurethane manuf.)

IT **302-01-2**, reactions
RL: RCT (Reactant)
(reaction of, with carbon dioxide, carbamate chain extender manuf. by)

L119 ANSWER 50 OF 52 HCAPLUS COPYRIGHT 2001 ACS
AN 1980:568592 HCAPLUS
DN 93:168592
TI Cyclic peptides. VIII. Synthesis and tryptic hydrolysis of cyclic depsidipeptides containing a lysine residue
AU Yasutake, Akira; Miyazaki, Koichi; Aoyagi, Haruhiko; Kato, Tetsuo; Izumiya, Nobuo
CS Fac. Sci., Kyushu Univ., Fukuoka, Japan
SO Int. J. Pept. Protein Res. (**1980**), 16(1), 61-5
CODEN: IJPPC3; ISSN: 0367-8377
DT Journal
LA English
AB Cyclo(L-Lys-L-Hpp) [L-L-I, Hpp = OCH(CH2Ph)CO] was prepd. by deblocking BOC-L-Lys(Z)-L-Hpp-NHNHBOC (II; BOC = Me3CO2C, Z = CO2CH2Ph) by acid, cyclizing the resulting H-L-Lys(Z)-L-Hpp-NHNH2 by the azide method, and Z-deblocking the resulting cyclo[L-Lys(Z)-L-Hpp] by hydrogenolysis. BOC-L-Lys(Z)-OH was condensed with H-L-Hpp-NHNHBOC by **carbonyldiimidazole** to give II. L-D-I, D-L-I, and D-D-I were prepd. similarly. L-L-I and L-D-I were rapidly cleaved by tryptic hydrolysis, whereas the trypsin-catalyzed hydrolysis of D-L-I and D-D-I was very slow.

IT **870-46-2**
RL: RCT (Reactant)
(reaction of, with hydroxyphenylpropionic acid)

L119 ANSWER 51 OF 52 HCAPLUS COPYRIGHT 2001 ACS
AN 1976:130128 HCAPLUS
DN 84:130128
TI Central nervous system active 5-oxo-1,4,5,6,7,8-hexahydrocinnolines
AU Nagarajan, Kuppuswamy; David, Joy; Shah, Rashmi K.
CS Ciba-Geigy Res. Cent., Bombay, India
SO J. Med. Chem. (**1976**), 19(4), 508-11
CODEN: JMCMAR
DT Journal
LA English
GI



I

AB Among a series of 5-oxo-1,4,5,6,7,8-hexahydrocinnolines (I) examd. for central nervous system activity, 1-(2-diethylaminoethyl)-3-(p-fluorophenyl)-7,7-dimethyl-5-oxo-1,4,5,6,7,8-hexahydrocinnoline [58137-07-8] and 1-(2-dimethylaminoethyl)-3-phenyl-5-oxo-7,7-dimethyl-1,4,5,6,7,8-hexahydrocinnoline monoperchlorate [58137-15-8] had sedative and anticonvulsant properties and were also active in tests used to characterize antidepressants. However, their narrow safety margin precludes clin. study. Derivs. of 2-(.omega.-phenacyl)-3-hydrazino-5,5-

dimethyl-2-cyclohexenone were active in tests used to characterize antidepressants and were weakly sedative but not anticonvulsant. Structure-activity relationships are discussed.

IT **302-01-2**, reactions
RL: RCT (Reactant)
(cyclization of, with hydroxyketone)

IT **471-31-8**
RL: RCT (Reactant)
(reaction of, with hydroxyketone)

L119 ANSWER 52 OF 52 HCAPLUS COPYRIGHT 2001 ACS
AN 1972:67577 HCAPLUS
DN 76:67577
TI Simple and harmless preparation of anhydrous hydrazine
AU Nachbaur, E.; Leiseder, G.
CS Inst. Anorg. Anal. Chem., Univ. Graz, Graz, Austria
SO Monatsh. Chem. (**1971**), 102(6), 1718-23
CODEN: MOCHAP
DT Journal
LA German
AB The vacuum thermolysis of hydrazonium cyanurate gave anhyd. N2H4 with >99.8% purity. The thermolysis of a suspension of hydrazinocarboxylic acid in MeCN at 135.degree. gave a dil. soln. of anhyd. N2H4 in MeCN.

IT **302-01-2P**, preparation
RL: PREP (Preparation)
(from thermal decompn. of hydrazinocarboxylic acid and hydrazonium cyanurate)

IT **471-31-8**
RL: RCT (Reactant)
(thermal decompn. of, hydrazine formation in)

=> d his

(FILE 'HOME' ENTERED AT 09:49:36 ON 12 JAN 2001)
SET COST OFF

FILE 'HCAPLUS' ENTERED AT 09:51:10 ON 12 JAN 2001
L1 2381 S CARBONYLDIIMIDAZOLE
L2 77100 S DIMETHYLFORMAMIDE
L3 212 S TERTBUTYLCARBAZATE OR TERTBUTYL CARBAZATE OR (TERT OR T)()(BU
L4 4 S (TBU OR T BU)()CARBAZATE

FILE 'REGISTRY' ENTERED AT 09:55:19 ON 12 JAN 2001
L5 1 S 530-62-1
L6 1 S 68-12-2

FILE 'REGISTRY' ENTERED AT 10:03:55 ON 12 JAN 2001
L7 1 S 870-46-2

FILE 'HCAPLUS' ENTERED AT 10:04:14 ON 12 JAN 2001
L8 3091 S L5 OR L1 OR CARBONYL()(DIIMIDAZOLE OR DI IMIDAZOLE)
L9 81069 S L6 OR L2 OR (DIMETHYL OR DI METHYL OR DIME OR DI ME)()FORMAMI
L10 523 S L7 OR L3 OR L4
L11 50 S (T OR TERT)()(BUTOXYCARBONYLHYDRAZINE OR BUTOXY()(CARBONYLHYD
L12 1 S TERTBUTOXYCARBONYLHYDRAZINE OR TERTBUTOXY()(CARBONYLHYDRAZINE
L13 530 S L10-L12
L14 22 S L8 AND L13
L15 4 S L9 AND L14
L16 21 S L14,L15 AND (PD<=19980724 OR PRD<=19980724 OR AD<=19980724 OR
L17 0 S L14 AND DMP
L18 1824 S DMP
L19 2783 S DIMETHYLPHTHALATE OR DIMETHYLPHTHALIC ACID OR (DIMETHYL OR DI
L20 13748 S ACETIC ANHYDRIDE
L21 1388 S DCM

```
     FILE 'REGISTRY' ENTERED AT 10:22:14 ON 12 JAN 2001
L22             1 S 131-11-3
L23             1 S 108-24-7
L24             1 S (108-24-7 AND 131-11-3)/CRN
L25             1 S 75-09-2
L26             1 S 76-05-1
L27             4 S (76-05-1 AND 75-09-2)/CRN
L28             1 S L27 AND 2/NC
L29             1 S 100-68-5

     FILE 'HCAPLUS' ENTERED AT 10:24:14 ON 12 JAN 2001
L30          5553 S L22 OR L18 OR L19
L31         16850 S L23 OR L20
L32             0 S L24
L33         26062 S L25 OR L21 OR DICHLOROMETHANE OR (DICHLORO OR DI CHLORO)()MET
L34         16608 S L26 OR TFA OR TRIFLUOROACETATE OR TRIFLUOROACETIC ACID
L35             6 S L28
L36          2263 S L29 OR THIOANISOLE OR THIO ANISOLE
L37             3 S L14 AND L30-L36
L38            13 S DIEA AND L30-L36
L39           827 S DIISOPROPYLETHYLAMINE

     FILE 'REGISTRY' ENTERED AT 10:30:17 ON 12 JAN 2001
L40             1 S 7087-68-5

     FILE 'HCAPLUS' ENTERED AT 10:30:52 ON 12 JAN 2001
L41           116 S L40,L39 AND L30-L36
L42             0 S L38,L41 AND L14
L43             3 S L30,L31,L33,L34,L35,L36,L39,L40 AND L14
L44         81069 S L9 OR DMF
L45             4 S L44 AND L8 AND L13
L46            22 S L14-L16,L45,L43
L47             2 S L46 AND (RESIN OR STYRENE OR POLYSTYRENE OR WANG)
L48            22 S L46,L47
L49             1 S L48 AND SOLID SUPPORT
L50             2 S L48 AND SOLID PHASE
L51            22 S L48-L50

     FILE 'REGISTRY' ENTERED AT 10:35:21 ON 12 JAN 2001
                ACT HSU122/A
                ---------
L52            39 SEA FILE=REGISTRY ABB=ON  PLU=ON  (104-53-0/BI OR 128107-47-1/B
                ---------
L53             1 S C5H12N2O2 AND L52
L54             1 S C6H12N2O4 AND L52

     FILE 'REGISTRY' ENTERED AT 10:38:17 ON 12 JAN 2001
L55             1 S CH4N2O2 AND L52
L56             1 S H4N2 AND L52
L57             1 S C19H30N4O8 AND L52
L58             1 S C20H32N6O8 AND L52
L59             1 S C15H24N6O6 AND L52
L60             1 S C14H18N2O5S AND L52
L61             1 S C29H40N8O10S AND L52
L62             1 S C21H32N8O6S AND L52
L63             1 S C20H30N6O5S AND L52
L64             1 S C11H19N3O4 AND L52
L65             1 S C6H11N3O2 AND L52
L66             1 S C32H42N4O8 AND L52
L67             1 S C31H40N4O7 AND L52
L68             1 S C31H40N4O8 AND L52
L69             1 S C32H42N6O8 AND L52
L70             1 S C17H32N6O6 AND L52
L71             1 S C36H47N7O9 AND L52
L72             1 S C21H37N7O7 AND L52
```

```
L73           1 S C43H60N8O11 AND L52
L74           1 S C28H50N8O9 AND L52
L75           1 S C34H54N8O11S AND L52
L76           1 S C19H28N6O6S AND L52
L77           1 S C19H32N4O7 AND L52
L78           1 S C19H30N4O7 AND L52
L79           1 S C10H17NO4 AND L52
L80           1 S C12H22N2O4 AND L52
L81           1 S C10H17NO3 AND L52
L82           8 S C5-C6-C6/ES AND L52
L83        1303 S C5-C6-C6/ES AND 3/NR AND O>=6 AND N>=3
L84           3 S L83 AND PROPENYLOXY CARBONYL
L85           2 S L84 AND C29H32N4O8
L86           1 S 146982-23-2
L87           1 S C17H27NO3 AND L52
L88           1 S C22H35NO5 AND L52
L89           1 S C21H33NO5 AND L52
L90           1 S C21H34N6O4 AND L52

     FILE 'HCAPLUS' ENTERED AT 11:15:03 ON 12 JAN 2001
L91          12 S L8 AND L44 AND (L56 OR HYDRAZINE)
L92           1 S L91 AND (RESIN OR POLYSTYRENE OR STYRENE OR WANG OR SOLID SUP
L93           2 S L47,L49,L50,L92
L94         517 S L53
L95         108 S L54,L55,L57-L81,L86-L90
L96           2 S L95 AND L8
L97           5 S L95 AND L13
L98           7 S L95 AND L44
L99           9 S L95 AND L56
L100          4 S L95 AND L30,L31,L33-L36,L39,L40
L101         22 S L96-L100
L102         62 S L95 AND 34/SC,SX
L103         14 S L101,L102 AND (SOLID()(PHASE OR SUPPORT) OR STYRENE OR POLYST
L104         53 S L101,L103,L93,L16
L105         49 S L104 AND (PD<=19980724 OR PRD<=19980724 OR AD<=19980724 OR PY
                E SIEV D/AU
L106         12 S E4-E6
                E SEMPLE J/AU
L107         84 S E3,E5,E6,E15,E16,E19,E20
                E WEINHOUSE M/AU
L108         11 S E3,E4
                E CORVAS/PA,CS
L109        133 S E3,E4
L110        174 S L106-L109
L111         10 S L110 AND L104
L112         51 S L105,L111
L113         22 S HCAM
L114         10 S L112 AND L110
L115         51 S L112,L114
L116         20 S L113 NOT L115
L117          1 S L116 AND POLYSTYRENE
L118          2 S HYDRAZIN? (S) CARBONYL (S) (AMINOMETHYL? OR AMINO(S)METHYL?)
L119         52 S L115,L117,L118

     FILE 'REGISTRY' ENTERED AT 11:41:23 ON 12 JAN 2001

     FILE 'HCAPLUS' ENTERED AT 11:48:03 ON 12 JAN 2001
```